



STIC Search Report

Biotech-Chem Library

STIC Database Tracking Number: 127989

TO: Ben Sackey
Location: rem/5b31/5c18
Art Unit: 1626
Monday, July 26, 2004

Case Serial Number: 10/618044

From: Noble Jarrell
Location: Biotech-Chem Library
Rem 1B71
Phone: 272-2556

Noble.jarrell@uspto.gov

Search Notes

Ms. Jarell

Access DB# 127989

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: BEN JACKY Examiner #: 73489 Date: 7/26/04
Art Unit: 1626 Phone Number 302-0704 Serial Number: 101618,044
Mail Box and Bldg/Room Location: Room 5B31 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

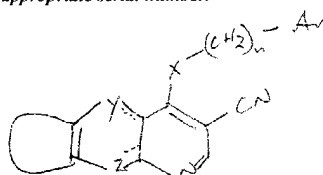
Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: Tricyclic Protein Kinase Inhibitors

Inventors (please provide full names): Dan M. Berger et al.

Earliest Priority Filing Date: _____

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

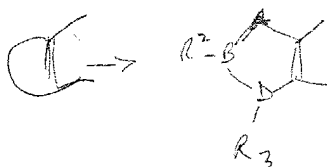


Elected species is
8-(4-chloro-5-methoxy-2-methylimidazo-3-yl-ethyl)-3H-imidazo[4,5-g]quinoline-7-carbo
nitrile

Ar is phenyl substituted

n is -0-

X is NH



A, D are N or A, B and D are N

STAFF USE ONLY

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Searcher Location: _____
Date Searcher Picked Up: 7/26/04
Date Completed: 7/26/04
Searcher Prep & Review Time: 30
Clerical Prep Time: _____
Online Time: 30

Type of Search

NA Sequence (#) _____
AA Sequence (#) _____
Structure (#) 2
Bibliographic _____
Litigation _____
Fulltext _____
Patent Family _____
Other _____

Vendors and cost where applicable

STN 639
Dialog _____
Questel/Orbit _____
Dr.Link _____
Lexis/Nexis _____
Sequence Systems _____
WWW/Internet _____
Other (specify) _____

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(FILE 'HOME' ENTERED AT 08:57:21 ON 26 JUL 2004)

FILE 'HCAPLUS' ENTERED AT 08:57:30 ON 26 JUL 2004

L1 1 US2004110762/PN

FILE 'REGISTRY' ENTERED AT 08:57:45 ON 26 JUL 2004

FILE 'HCAPLUS' ENTERED AT 08:57:50 ON 26 JUL 2004

L2 TRA L1 1- RN : 291 TERMS

FILE 'REGISTRY' ENTERED AT 08:57:51 ON 26 JUL 2004

L3 291 SEA L2

FILE 'REGISTRY' ENTERED AT 08:57:54 ON 26 JUL 2004

FILE 'HCAPLUS' ENTERED AT 08:57:56 ON 26 JUL 2004

L4 1 US2004110762/PN

=> b hcap

FILE 'HCAPLUS' ENTERED AT 08:58:19 ON 26 JUL 2004

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FILE COVERS 1907 - 26 Jul 2004 VOL 141 ISS 5

FILE LAST UPDATED: 25 Jul 2004 (20040725/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'HCAPLUS' FILE

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L1 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:906207 HCAPLUS

DN 136:37618

ED Entered STN: 16 Dec 2001

TI Preparation of substituted aromatic tricyclic compounds containing nicotinonitrile rings as protein kinase inhibitors

IN * Berger, Dan M.; Dutia, Minu D.; Demorin, Frenel F.; Boschelli, Diane H.; Powell, Dennis W.; Tsou, Hwei-ru; Wissner, Allan; Zhang, Nan; Ye, Fei; Wu, Biqi

PA American Home Products Corporation, USA; Wyeth

SO U.S. Pat. Appl. Publ., 107 pp.

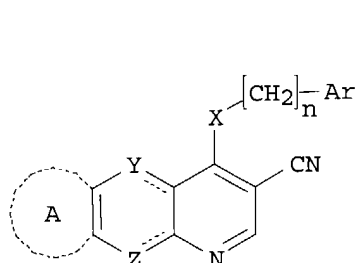
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DT Patent

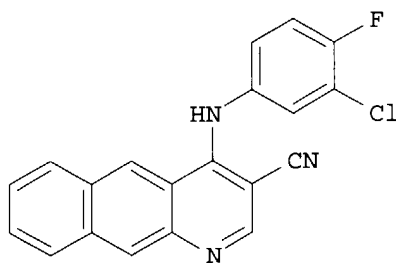
Searched by Noble Jarrell

LA English
 IC ICM A61K031-5377
 ICS A61K031-496; A61K031-4738; C07D491-02
 NCL 514232800
 CC 28-13 (Heterocyclic Compounds (More Than One Hetero Atom))
 Section cross-reference(s): 1
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2001051620	A1	20011213	US 2000-751274	20001229
	US 6638929	B2	20031028		
	US 2004110762	A1	20040610	US 2003-618044	20030710 <--
PRAI	US 1999-240905P	P	19991229		
	US 2000-751274	A3	20001229		
OS	MARPAT 136:37618				
GI					



I



II

AB The title compds. I [Ar = (un)substituted cycloalkyl, pyridyl, pyrimidinyl, etc.; n = 0-1; X = NH, O, S, NR; R = alkyl; Y, Z = both carbon or N; A = (un)substituted benzo, pyrido, pyrimido, etc.] which are useful as inhibitors of protein tyrosine kinase and are antiproliferative agents, were prepared E.g., a 3-step synthesis of II which showed IC50 of 0.005 .mu.M against EGF-R kinase (recombinant enzyme), was given.

ST arom tricyclic compd prepn protein kinase inhibitor; EGF receptor kinase inhibitor arom tricyclic compd prepn; antitumor arom tricyclic compd prepn; KDR kinase inhibitor arom tricyclic compd prepn; mitogen activated protein kinase inhibitor arom tricyclic compd prepn; src kinase inhibitor arom tricyclic compd prepn

IT Antitumor agents

(preparation of substituted aromatic tricyclic compds. containing nicotinonitrile

rings as protein kinase inhibitors)

IT 79079-06-4, EGF receptor kinase 139691-76-2, Raf kinase 141349-89-5, Src kinase 142243-02-5, Mitogen activated protein kinase 150977-45-0
 RL: BSU (Biological study, unclassified); BIOL (Biological study)

(preparation of substituted aromatic tricyclic compds. containing nicotinonitrile

rings as protein kinase inhibitors)

IT	263149-40-2P	348617-29-8P	348617-39-0P	348617-40-3P	348617-42-5P
	348617-43-6P	348617-45-8P	348617-60-7P	348617-61-8P	348617-63-0P
	348617-64-1P	348617-89-0P	348617-94-7P	348617-95-8P	348618-04-2P
	348618-05-3P	348618-16-6P	348618-17-7P	348618-18-8P	348618-33-7P
	348618-34-8P	348618-37-1P	348618-38-2P	348618-46-2P	348618-50-8P
	348618-53-1P	348618-56-4P	348618-57-5P	348618-59-7P	348618-64-4P
	348618-65-5P	348618-81-5P	348619-28-3P		

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of substituted aromatic tricyclic compds. containing nicotinonitrile

rings as protein kinase inhibitors)

IT	348617-17-4P	348617-19-6P	348617-20-9P	348617-26-5P	348617-27-6P
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	348617-46-9P	348617-47-0P	348617-50-5P	348617-51-6P	348617-52-7P
	348617-54-9P	348617-55-0P	348617-56-1P	348617-58-3P	348617-59-4P
	348617-62-9P	348617-65-2P	348617-66-3P	348617-71-0P	348617-72-1P
	348617-75-4P	348617-79-8P	348617-80-1P	348617-81-2P	348617-82-3P
	348617-83-4P	348617-84-5P	348617-85-6P	348617-90-3P	348617-98-1P
	348617-99-2P	348618-00-8P	348618-01-9P	348618-02-0P	348618-03-1P
	348618-06-4P	348618-07-5P	348618-19-9P	348618-20-2P	348618-35-9P
	348618-36-0P	348618-39-3P	348618-40-6P	348618-41-7P	348618-42-8P
	348618-43-9P	348618-44-0P	348618-47-3P	348618-58-6P	348618-60-0P
	348618-61-1P	348618-62-2P	348618-63-3P	348618-66-6P	348618-67-7P
	348618-68-8P	348618-74-6P	348618-82-6P	348618-83-7P	348618-84-8P
	348618-85-9P	348618-86-0P	348618-87-1P	348618-88-2P	348618-89-3P
	348618-90-6P	348618-91-7P	348618-92-8P	348618-93-9P	348618-94-0P
	348618-95-1P	348618-96-2P	348618-97-3P	348618-98-4P	348618-99-5P
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	348619-15-8P	348619-16-9P	348619-17-0P	348619-18-1P	348619-19-2P
	348619-20-5P	348619-21-6P	348619-22-7P	348619-23-8P	348619-24-9P
	348619-25-0P	348619-26-1P	348619-27-2P	348619-29-4P	

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted aromatic tricyclic compds. containing nicotinonitrile

rings as protein kinase inhibitors)

IT	79-10-7, Acrylic acid, reactions	90-05-1, Guaiacol	94-05-3, Ethyl (ethoxymethylene)cyanoacetate	105-34-0, Methyl cyanoacetate	108-01-0, 2-(Dimethylamino)ethanol	109-01-3, 1-Methylpiperazine	110-91-8, Morpholine, reactions	139-59-3, 4-Phenoxyaniline	288-36-8, 1H-1,2,3-Triazole	348-62-9, 4-Chloro-2-fluorophenol	367-21-5, 3-Chloro-4-fluoroaniline	504-88-1, 3-Nitropropionic acid	540-88-5, tert-Butyl acetate	554-00-7, 2,4-Dichloroaniline	591-19-5, 3-Bromoaniline	622-40-2, 4-(2-Hydroxyethyl)morpholine	632-02-0, 3-Chloropropyl p-toluenesulfonate	814-68-6, Acryloyl chloride	873-38-1, 2-Bromo-4-chloroaniline	882-33-7, Phenyl disulfide	1142-19-4, 4,4'-Dichlorodiphenyl disulfide	2038-03-1, 4-(2-Aminoethyl)morpholine	2835-95-2, 5-Amino-o-cresol	4637-24-5, 5335-29-5, 3-Chloro-4-phenoxyaniline	5959-52-4, 3-Amino-2-naphthoic acid	20357-25-9, 6-Nitroveratraldehyde	24313-88-0, 3,4,5-Trimethoxyaniline	33693-48-0, 4-Benzyloxy-3-methoxybenzyl alcohol	34674-75-4, 35212-85-2, Methyl 3-aminobenzo[b]thiophene-2-carboxylate	39786-35-1, Ethyl 3-amino-2-benzo[b]furancarboxylate	43073-44-5, 6,7-Dimethoxy-2,3-naphthalenedicarboxylic anhydride	50868-72-9, 5-Methoxy-2-methylaniline	57946-56-2, 4-Chloro-2-fluoroaniline	59404-86-3, 4-Benzyloxy-3-chloroaniline	59922-33-7, 62492-42-6, 63224-35-1, 76513-69-4, 2-(Trimethylsilyl)ethoxymethyl chloride	76878-17-6, 85006-21-9, 2-Chloro-5-methoxyaniline hydrochloride	98404-04-7, 2-Chloro-4-fluoro-5-methoxyaniline	98446-49-2, 2,4-Dichloro-5-methoxyaniline	131775-97-8, 133088-44-5, 133303-88-5, 204915-71-9, 4-(2-Chloroethoxy)-3-methoxybenzaldehyde	348619-47-6
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RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of substituted aromatic tricyclic compds. containing
nicotinonitrile

rings as protein kinase inhibitors)

IT 3590-37-2P, Ethyl 3-nitropropionate 53544-07-3P 53815-60-4P
 222622-96-0P 263149-39-9P 309269-57-6P 348617-15-2P 348617-16-3P
 348617-21-0P 348617-22-1P 348617-23-2P 348617-24-3P 348617-25-4P
 348617-31-2P 348617-32-3P 348617-33-4P 348617-34-5P 348617-35-6P
 348617-36-7P 348617-37-8P 348617-48-1P 348617-49-2P 348617-57-2P
 348617-67-4P 348617-68-5P 348617-69-6P 348617-70-9P 348617-73-2P
 348617-74-3P 348617-76-5P 348617-77-6P 348617-78-7P 348617-86-7P
 348617-87-8P 348617-88-9P 348617-91-4P 348617-92-5P 348617-93-6P
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 348618-11-1P 348618-12-2P 348618-13-3P 348618-14-4P 348618-15-5P
 348618-21-3P 348618-22-4P 348618-23-5P 348618-24-6P 348618-25-7P
 348618-26-8P 348618-27-9P 348618-28-0P 348618-29-1P 348618-30-4P
 348618-31-5P 348618-32-6P 348618-45-1P 348618-48-4P 348618-49-5P
 348618-51-9P 348618-52-0P 348618-54-2P 348618-55-3P 348618-69-9P
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 348618-76-8P 348618-77-9P 348618-78-0P 348618-79-1P 348618-80-4P
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 348619-40-9P 348619-41-0P 348619-42-1P 348619-43-2P 348619-44-3P
 348619-45-4P 348619-46-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation of substituted aromatic tricyclic compds. containing
nicotinonitrile

rings as protein kinase inhibitors)

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FILE LAST UPDATED: 23 JUL 2004 <20040723/UP>
 MOST RECENT DERWENT UPDATE: 200447 <200447/DW>
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~~SECRET~~

L4 ANSWER 1 OF 1 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN
AN 2001-522007 [57] WPIX
DNC C2001-155768
PI New tricyclic heterocycles are protein kinase inhibitors, useful in the
treatment, inhibition and eradication of neoplasms, polycystic kidney
disease and colonic polyps.
DC B02
IN BERGER, D M; BOSCHELLI, D H; DEMORIN, F F; DUTIA, M D; POWELL, D W; TSOU,
H; WISSNER, A; WU, B; YE, F; ZHANG, N
PA (AMHP) AMERICAN HOME PROD CORP; (AMHP) WYETH; (AMHP) WYETH INC
CYC 95
PI WO 2001047892 A1 20010705 (200157)* EN 377 C07D215-54
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ
NL OA PT SD SE SL SZ TR TZ UG ZW
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CR CU CZ DE DK DM
DZ EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC
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SG SI SK SL TJ TM TR TT TZ UA UG UZ VN YU ZA ZW
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US 2001051620 A1 20011213 (200204)# A61K031-5377
EP 1242382 A1 20020925 (200271) EN C07D215-54
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RO SE SI TR
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JP 2003519127 W 20030617 (200349) 341 C07D221-08
US 6638929 B2 20031028 (200372)# A61K031-535
CN 1437584 A 20030820 (200374) C07D215-54
US 2001047892 A1 20010610 (200157)* EN A61K031-506 <--
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20001229; US 2001051620 A1 Provisional US 1999-240905P 19991229, US
2000-751274 20001229; EP 1242382 A1 EP 2000-988437 20001229, WO
2000-US35616 20001229; BR 2000016878 A BR 2000-16878 20001229, WO
2000-US35616 20001229; JP 2003519127 W WO 2000-US35616 20001229, JP
2001-549364 20001229; US 6638929 B2 Provisional US 1999-240905P 19991229,
US 2000-751274 20001229; CN 1437584 A CN 2000-819209 20001229; US
2004110762 A1 Provisional US 1999-240905P 19991229, Div ex US 2000-751274
20001229, US 2003-618044 20030710
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on WO 2001047892; US 2004110762 A1 Div ex US 6638929
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US 2003-618044 20030710
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ICS A61K031-429; A61K031-435; A61K031-4353; A61K031-4355; A61K031-4365;
A61K031-4375; A61K031-473; A61K031-4738; A61K031-4745; A61K031-496;
A61K031-498; A61K031-4985; A61P001-00; A61P003-10; A61P005-14;
A61P009-00; A61P009-10; A61P011-00; A61P013-12; A61P017-00;
A61P017-06; A61P017-14; A61P019-02; A61P025-00; A61P029-00;
A61P031-04; A61P031-12; A61P035-00; A61P037-06; A61P037-08;
A61P043-00; C07C205-59; C07C229-70; C07D279-12; C07D307-85;
C07D333-70; C07D401-10; C07D401-12; C07D401-14; C07D471-02;
C07D471-04; C07D487-02; C07D491-02; C07D491-04; C07D491-048;
C07D495-04; C07D513-04

AB WO 200147892 A UPAB: 20011005

NOVELTY - Tricyclic heterocycles (I) are new.

DETAILED DESCRIPTION - Tricyclic heterocycles of formula (I) and their salts are new.

ring system = fused 5,6,6-tricycle, 6,6,6-tricycle, 6,5,6-tricycle, or 6,6,6-tricycle;

Ar = 3-7C cycloalkyl (optionally substituted by 1 or more 1-6C alkyl), or pyridinyl, pyrimidinyl or phenyl (all optionally substituted), 8-12 membered bicyclic aryl or bicyclic heteroaryl containing 1-4 N, O or S (both optionally substituted), or -A'-T-L;

A' = pyridinyl, pyrimidinyl or phenyl (all optionally substituted);

T = -NH(CH₂)_m-, -O(CH₂)_m-, -S(CH₂)_m-, -NR(CH₂)_m-, -(CH₂)_m-, -(CH₂)_mNH-, -(CH₂)_mO-, -(CH₂)_mS-, -SO(CH₂)_m-, SO₂(CH₂)_m-, -CO(CH₂)_m-, -(CH₂)_mCO-, -(CH₂)_mSO-, -(CH₂)_mSO₂- or -(CH₂)_mNR-;

L = phenyl (optionally substituted), or 5-6 membered heteroaryl ring containing 1-3 N, O or S and optionally substituted;

m = 0-3;

n = 0-1;

X = NH, O, S or NR;

R = 1-6C alkyl;

Y, Z = C or N; or

Y = N, O or S; and

Z = bond; or

Y = bond; and

Z = N, O or S;

ring A = a group of formula (a)-(1);

B = C or N;

D, E = C, N, O or S;

dotted line = optional double bond;

R1-R4 = absent, H, OH, halo, amino, hydroxyamino, CF₃, CF₃O, SH, 1-6C alkyl, 3-8C cycloalkyl, 2-6C alkenyl, 2-6C alkynyl, 2-6C alkenyloxy, 2-6C alkynyloxy, 1-6C hydroxyalkyl, 1-6C mercaptoalkyl, halomethyl, 2-7C alkoxyethyl, 1-6C alkoxy, 3-8C cycloalkoxy, 1-6C alkylthio, 3-8C cycloalkylthio, 1-6C alkylsulfinyl, 1-6C alkylsulfonyl, 1-6C alkylsulfonamido, 2-6C alkenylsulfonamido, 2-6C alkynylsulfonamido, CN, NO₂, carboxy, 2-7C alkoxyethyl, 2-7C alkanoyl, 3-7C alkenoyl, 4-12C N-alkyl-N-alkenylamino, 6-12C dialkenylamino, phenylamino, benzylamino, phenoxy, phenyl, thiophenoxy, benzyl, 1-6C alkylamino, 2-7C alkanoyloxy, 3-8C alkenoyloxy, 3-8C alkynoyloxy, carbamoyl, 2-7C N-alkylcarbamoyl, 3-13C N,N-dialkylcarbamoyl, 2-12C dialkylamino, 2-7C alkanoyloxymethyl, 2-7C alkenoyloxymethyl, 2-7C alkynoyloxymethyl, azido, benzoyl, 2-7C carboxyalkyl, 3-8C carboxyalkoxyalkyl, R₈R₉-CH-M-(C(R₆)₂)_k-X-, R₇-(C(R₆)₂)_g-X-, R₇-(C(R₆)₂)_p-M-(C(R₆)₂)_k-X-, Het-(C(R₆)₂)_q-W-(C(R₆)₂)_k-X-, Ph-(C(R₆)₂)_q-W-(C(R₆)₂)_k-X-, R₅-CONH(CH₂)_q-, R₅-C equivalent to C-CONH(CH₂)_q-, (R₅)₂C=C(CN)(CH₂)_q-, (R₅)₂C=C(R₅)CONH(CH₂)_q-, (R₅)₂C=C(R₅)SO₂NH(CH₂)_q-, R₅OC(O)NH(CH₂)_q-, R₅NHC(O)NH(CH₂)_q-, (R₅)₂NC(O)NH(CH₂)_q-, R₅HNC(O)O(CH₂)_q-, R₅HNC(S)NH(CH₂)_q-, (R₅)₂NC(S)NH(CH₂)_q-, (R₅)₂NC(O)O(CH₂)_q-, or a group of formula (m) or (n);

R₅ = H, 1-6C alkyl, 1-6C aminoalkyl, 2-9C N-alkylaminoalkyl, 3-12C N,N-dialkylaminoalkyl, 4-12C N-cycloalkylaminoalkyl, 5-18C N-cycloalkyl-N-alkylaminoalkyl, 7-18C N,N-dicycloalkylaminoalkyl, morpholino-N-(1-6C alkyl), piperidino-N-(1-6C alkyl), N-(1-6C alkyl)-piperazino-N-(1-6C alkyl), 3-11C azacycloalkyl-N-alkyl, 1-6C hydroxyalkyl, 2-8C alkoxyalkyl or phenyl;

X = (CH₂)_m, O, S or NR₆;R₇ = N(R₆)₂, OR₆, J, N(R₆)₃₊ or NR₆(OR₆);M = NR₆, O, S, N-((C(R₆)₂)_pN(R₆)₂) or N-((C(R₆)₂)_p-OR₆);W = NR₆, O, S or bond;

Het = morpholine, thiomorpholine, thiomorpholine-S-oxide, thiomorpholine-S,S-dioxide, piperidine, pyrrolidine, aziridine, pyridine,

imidazole, 1,2,3-triazole, 1,2,4-triazole, thiazole, thiazolidine, tetrazole, piperazine, furan, thiophene, tetrahydrothiophene, tetrahydrofuran, dioxane, 1,3-dioxolane pyrrole or tetrahydropyran (all optionally C-substituted, and optionally N-substituted by 1-2 NR6);

Ph = optionally substituted phenyl;

R6 = H, 1-6C alkyl, 2-6C alkenyl, 2-6C alkynyl, 1-6C cycloalkyl, 2-7C alkanoyl, 2-7C carbamoylalkyl, 1-6C hydroxyalkyl, 3-6C hydroxycycloalkyl or 2-7C carboxyalkyl, or optionally substituted phenyl;

R8, R9 = N-((C(R6)2)pN(R6)2) or N-((C(R6)2)p-OR6);

J = H, Cl, F or Br;

g = 1-6;

k = 0-4;

p = 2-4;

q = 0-4;

r = 1-4; and

s = 1-6;

provided that:

(1) when ring A = group (1), at least 1 bond between E and B or B and D is a double bond; at least 1 of E, B or D is not C; only 1 of E, B or D = O or S; and the adjacent atoms to O or S are C;

(2) when R5 is bound to an N atom, the resulting structures are not N-C-N or O-C-N radicals; and when R5 is bound to an O atom, the resulting structure is not a -N-C-O- radical;

(3) when R6 = 2-7C alkenyl or 2-7C alkynyl, the alkenyl and alkynyl moieties are bound to N or O through a saturated C atom in the alkenyl or alkynyl chain;

(4) when X = NR6 and R7 = N(R6)2, N(R6)3+ or NR6(OR6), then g = 2-6;

(5) when M = O or S and R7 = OR6, then p = 1-4;

(6) when X = NR6, O or S, then k = 2-4;

(7) when X = O or S and M or W = O or S, then k = 1-4;

(8) when W is not a bond with Het bonded through an N atom, then q = 2-4; and

(9) when W = bond with Het bonded through an N atom and X = NR6, O or S, then k = 2-4.

An INDEPENDENT CLAIM is also included for the preparation of (I) via 14 different reaction pathways.

ACTIVITY - Cytostatic; Nephrotropic; Gastrointestinal; Hepatotropic; Dermatological.

MECHANISM OF ACTION - MAPK kinase inhibitor; RAF kinase inhibitor; SRC kinase inhibitor; ECK/LERK-1 kinase inhibitor; VEGF/KDR kinase inhibitor

In an assay to measure inhibition of cancer cell growth (see Skehan et. al., J. Natl. Canc. Inst., 82,1107-1112 (1990)), 4-(2,4-dichloroanilino)-8-nitro(1)benzothieno(3,2-b)pyridine-3-carbonitrile (Ib) displayed IC50 values of 0.46, 0.41, 0.59 and 0.67 micro g/ml against MDA-MB-435, A431, SK-BR3 and SW620 cell lines respectively.

USE - Compounds (I) are useful for treating, eradicating or inhibiting the growth of neoplasms, especially breast, kidney, bladder, mouth, larynx, esophagus, stomach, colon, ovary, lung, pancreas, liver, prostate and skin, and especially neoplasms that express EGFR or erbB2 (Her2). (I) are also useful in treating, eradicating or inhibiting polycystic kidney disease and colonic polyps, and for inhibiting the biological effects of a deregulated protein kinase (all claimed).

Dwg.0/0

FS

CPI

FA

AB; GI; DCN

MC

CPI: B05-B01B; B06-H; B10-B01A; B10-B02A; B10-G02; B14-D06; B14-H01B; B14-N10

=> b home

FILE 'HOME' ENTERED AT 08:58:36 ON 26 JUL 2004

=>

=> b reg

FILE 'REGISTRY' ENTERED AT 10:03:41 ON 26 JUL 2004
 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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 COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
 provided by InfoChem.

STRUCTURE FILE UPDATES: 23 JUL 2004 HIGHEST RN 715654-51-6
 DICTIONARY FILE UPDATES: 23 JUL 2004 HIGHEST RN 715654-51-6

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

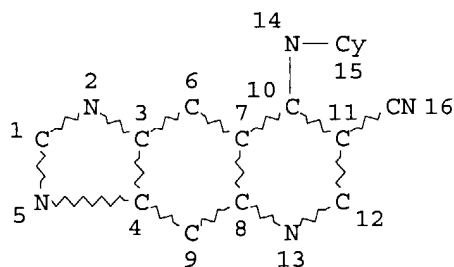
Please note that search-term pricing does apply when
 conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
 information enter HELP PROP at an arrow prompt in the file or refer
 to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

~~and que stat 19~~

L7 STR



NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE

L9 20 SEA FILE-REGISTRY 800-80-3

100.0% PROCESSED 501 ITERATIONS

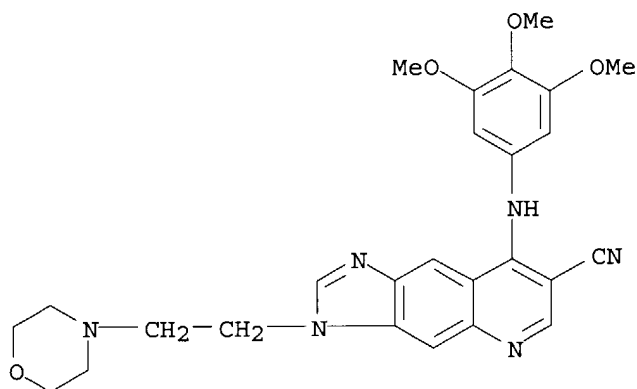
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L9 ANSWER 1 OF 20 REGISTRY COPYRIGHT 2004 ACS on STN
 RN 500023-80-3 REGISTRY
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 [(3,4,5-trimethoxyphenyl)amino]- (9CI) (CA INDEX NAME)

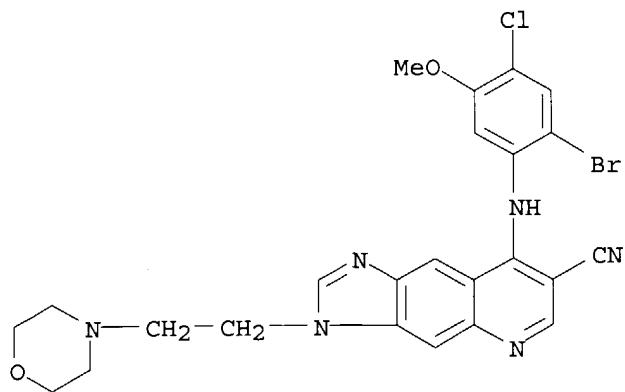
FS 3D CONCORD
MF C26 H28 N6 O4
SR CA
LC STN Files: CA, CAPLUS, CASREACT
DT.CA Caplus document type: Journal
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

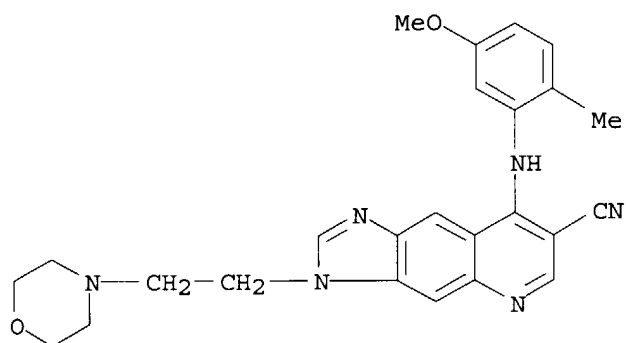
L9 ANSWER 2 OF 20 REGISTRY COPYRIGHT 2004 ACS on STN
RN 500023-79-0 REGISTRY
CN 3H-Imidazo[4,5-g]quinoline-7-carbonitrile, 8-[(2-bromo-4-chloro-5-methoxyphenyl)amino]-3-[(2-(4-morpholinyl)ethyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C24 H22 Br Cl N6 O2
SR CA
LC STN Files: CA, CAPLUS, CASREACT
DT.CA Caplus document type: Journal
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)



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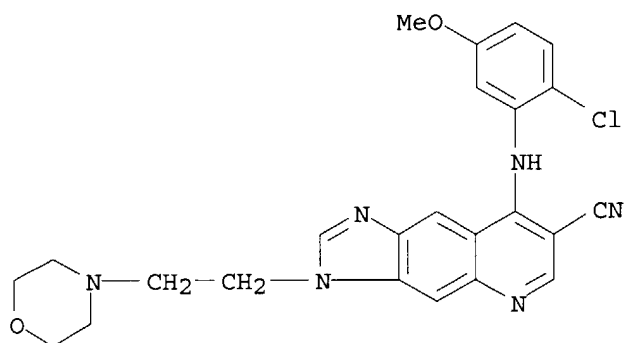
L9 ANSWER 3 OF 20 REGISTRY COPYRIGHT 2004 ACS on STN
RN 500023-78-9 REGISTRY
CN 3H-Imidazo[4,5-g]quinoline-7-carbonitrile, 8-[(5-methoxy-2-methylphenyl)amino]-3-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C25 H26 N6 O2
SR CA
LC STN Files: CA, CAPLUS, CASREACT
DT.CA Caplus document type: Journal
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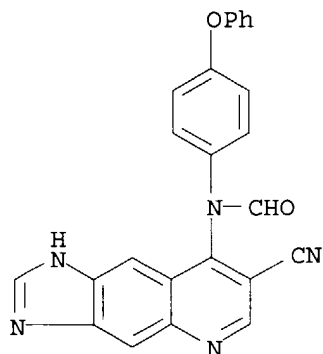
L9 ANSWER 4 OF 20 REGISTRY COPYRIGHT 2004 ACS on STN
RN 500023-77-8 REGISTRY
CN 3H-Imidazo[4,5-g]quinoline-7-carbonitrile, 8-[(2-chloro-5-methoxyphenyl)amino]-3-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C24 H23 Cl N6 O2
SR CA
LC STN Files: CA, CAPLUS, CASREACT
DT.CA Caplus document type: Journal
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)



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1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L9 ANSWER 5 OF 20 REGISTRY COPYRIGHT 2004 ACS on STN
RN 348619-42-1 REGISTRY
CN Formamide, N-(7-cyano-1H-imidazo[4,5-g]quinolin-8-yl)-N-(4-phenoxyphenyl)-
(9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C24 H15 N5 O2
SR CA
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DT.CA CAplus document type: Patent
RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

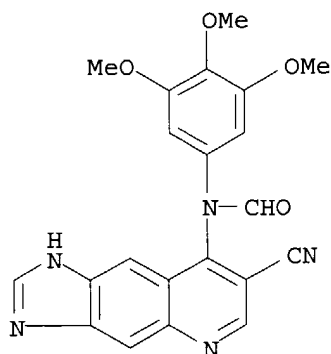


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2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L9 ANSWER 6 OF 20 REGISTRY COPYRIGHT 2004 ACS on STN
RN 348619-39-6 REGISTRY
CN Formamide, N-(7-cyano-1H-imidazo[4,5-g]quinolin-8-yl)-N-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
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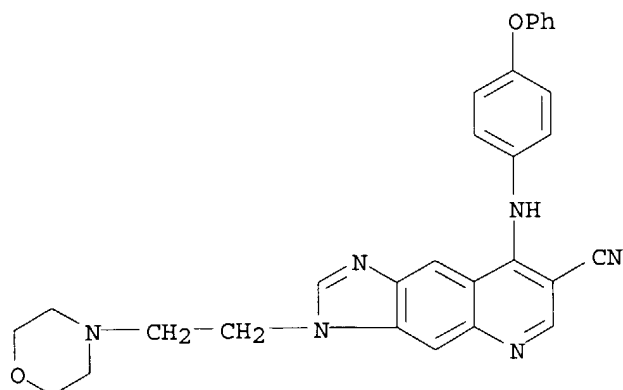
SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
 DT.CA CAplus document type: Patent
 RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)



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L9 ANSWER 7 OF 20 REGISTRY COPYRIGHT 2004 ACS on STN
 RN 348617-72-1 REGISTRY
 CN 3H-Imidazo[4,5-g]quinoline-7-carbonitrile, 3-[2-(4-morpholinyl)ethyl]-8-
 [(4-phenoxyphenyl)amino] - (9CI) (CA INDEX NAME)
 FS 3D CONCORD
 MF C29 H26 N6 O2
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
 DT.CA CAplus document type: Patent
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES
 (Uses)



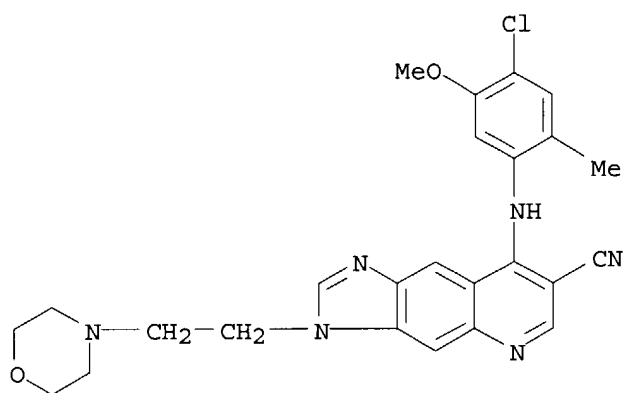
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2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

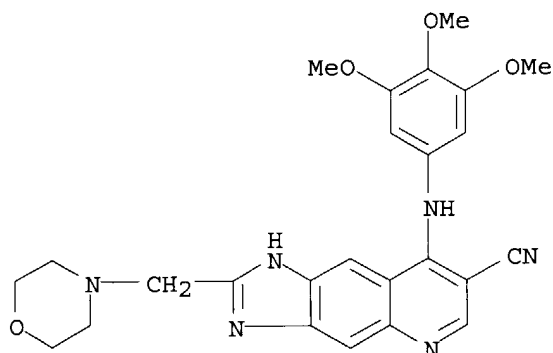
L9 ANSWER 8 OF 20 REGISTRY COPYRIGHT 2004 ACS on STN
RN 348617-71-0 REGISTRY
CN 3H-Imidazo[4,5-g]quinoline-7-carbonitrile, 8-[(4-chloro-5-methoxy-2-methylphenyl)amino]-3-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C25 H25 Cl N6 O2
SR CA
LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER, USPAT2, USPATFULL
DT.CA Caplus document type: Journal; Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)



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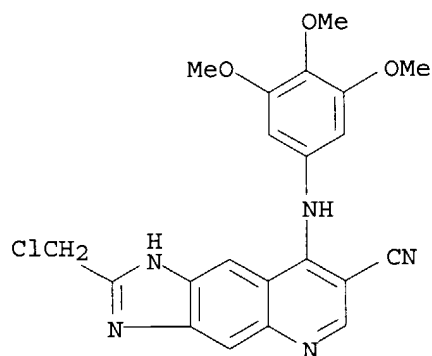
L9 ANSWER 9 OF 20 REGISTRY COPYRIGHT 2004 ACS on STN
RN 348617-62-9 REGISTRY
CN 1H-Imidazo[4,5-g]quinoline-7-carbonitrile, 2-(4-morpholinylmethyl)-8-[(3,4,5-trimethoxyphenyl)amino]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
DR 500023-76-7
MF C25 H26 N6 O4
SR CA
LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER, USPAT2, USPATFULL
DT.CA Caplus document type: Journal; Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)



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3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L9 ANSWER 10 OF 20 REGISTRY COPYRIGHT 2004 ACS on STN
RN 348617-61-8 REGISTRY
CN 1H-Imidazo[4,5-g]quinoline-7-carbonitrile, 2-(chloromethyl)-8-[(3,4,5-trimethoxyphenyl)amino]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C21 H18 Cl N5 O3
SR CA
LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER, USPAT2, USPATFULL
DT.CA Caplus document type: Journal; Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
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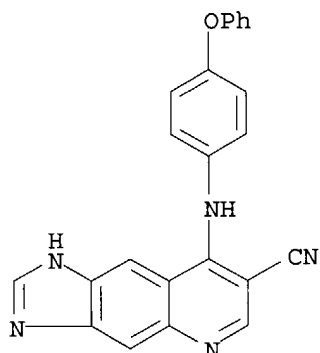


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L9 ANSWER 11 OF 20 REGISTRY COPYRIGHT 2004 ACS on STN
RN 348617-58-3 REGISTRY
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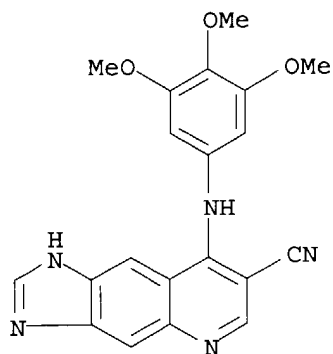
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 MF C23 H15 N5 O
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 DT.CA Caplus document type: Patent
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)



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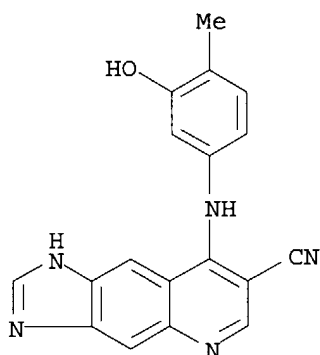
L9 ANSWER 12 OF 20 REGISTRY COPYRIGHT 2004 ACS on STN
 RN 348617-56-1 REGISTRY
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 FS 3D CONCORD
 MF C20 H17 N5 O3
 SR CA
 LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER, USPAT2, USPATFULL
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 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)
 RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)



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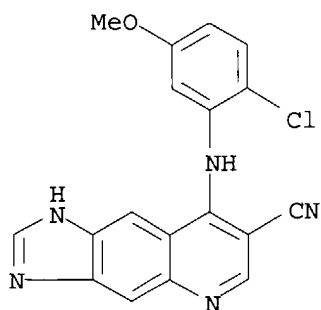
L9 ANSWER 13 OF 20 REGISTRY COPYRIGHT 2004 ACS on STN
RN 348617-55-0 REGISTRY
CN 1H-Imidazo[4,5-g]quinoline-7-carbonitrile, 8-[(3-hydroxy-4-methylphenyl)amino] - (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C18 H13 N5 O
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA Caplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)



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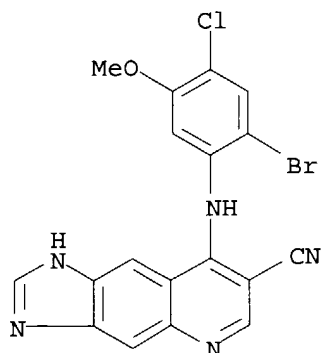
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RN 348617-54-9 REGISTRY
CN 1H-Imidazo[4,5-g]quinoline-7-carbonitrile, 8-[(2-chloro-5-methoxyphenyl)amino] - (9CI) (CA INDEX NAME)
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MF C18 H12 Cl N5 O
SR CA
LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER, USPAT2, USPATFULL
DT.CA Caplus document type: Journal; Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L9 ANSWER 15 OF 20 REGISTRY COPYRIGHT 2004 ACS on STN
RN 348617-52-7 REGISTRY
CN 1H-Imidazo[4,5-g]quinoline-7-carbonitrile, 8-[(2-bromo-4-chloro-5-methoxyphenyl)amino]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C18 H11 Br Cl N5 O
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DT.CA CAplus document type: Journal; Patent
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RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

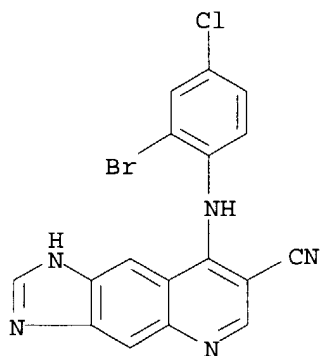


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L9 ANSWER 16 OF 20 REGISTRY COPYRIGHT 2004 ACS on STN
RN 348617-51-6 REGISTRY
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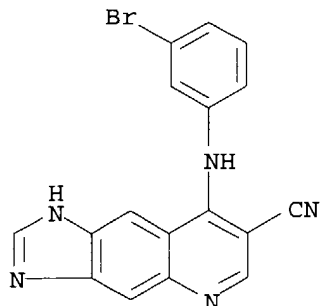
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RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L9 ANSWER 17 OF 20 REGISTRY COPYRIGHT 2004 ACS on STN
RN 348617-50-5 REGISTRY
CN 1H-Imidazo[4,5-g]quinoline-7-carbonitrile, 8-[(3-bromophenyl)amino]- (9CI)
(CA INDEX NAME)
FS 3D CONCORD
MF C17 H10 Br N5
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
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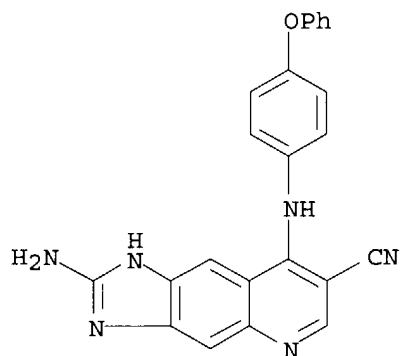


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)

2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L9 ANSWER 18 OF 20 REGISTRY COPYRIGHT 2004 ACS on STN
 RN 348617-47-0 REGISTRY
 CN 1H-Imidazo[4,5-g]quinoline-7-carbonitrile, 2-amino-8-[(4-phenoxyphenyl)amino]-, monohydrochloride (9CI) (CA INDEX NAME)
 MF C23 H16 N6 O . Cl H
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
 DT.CA CAplus document type: Patent
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

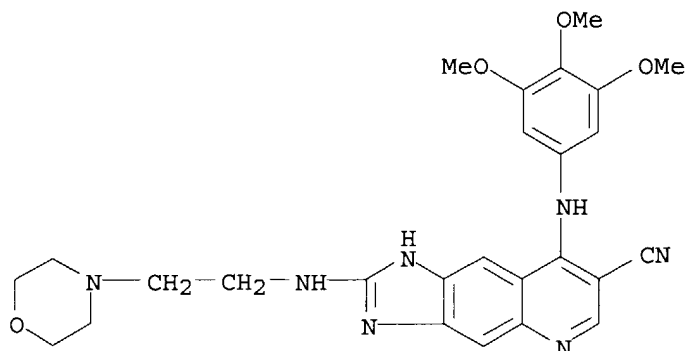


● HCl

2 REFERENCES IN FILE CA (1907 TO DATE)

2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

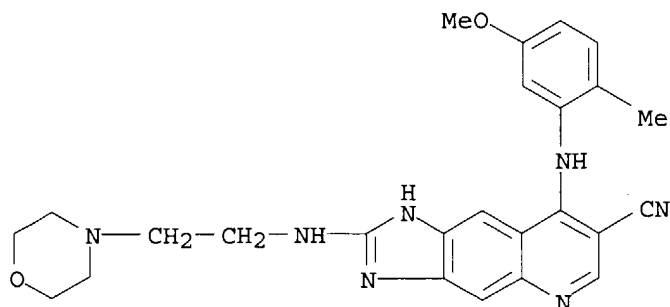
L9 ANSWER 19 OF 20 REGISTRY COPYRIGHT 2004 ACS on STN
 RN 348617-46-9 REGISTRY
 CN 1H-Imidazo[4,5-g]quinoline-7-carbonitrile, 2-[[2-(4-morpholinyl)ethyl]amino]-8-[(3,4,5-trimethoxyphenyl)amino]- (9CI) (CA INDEX NAME)
 FS 3D CONCORD
 MF C26 H29 N7 O4
 SR CA
 LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER, USPAT2, USPATFULL
 DT.CA CAplus document type: Journal; Patent
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)
 RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L9 ANSWER 20 OF 20 REGISTRY COPYRIGHT 2004 ACS on STN
RN 348617-44-7 REGISTRY
CN 1H-Imidazo[4,5-g]quinoline-7-carbonitrile, 8-[(5-methoxy-2-methylphenyl)amino]-2-[[2-(4-morpholinyl)ethyl]amino]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C25 H27 N7 O2
SR CA
LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER, USPAT2, USPATFULL
DT.CA Caplus document type: Journal; Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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Searched by Noble Jarrell

L1 FILE 'HCAPLUS' ENTERED AT 08:57:30 ON 26 JUL 2004
1 US2004110762/PN

FILE 'REGISTRY' ENTERED AT 08:57:45 ON 26 JUL 2004

L2 FILE 'HCAPLUS' ENTERED AT 08:57:50 ON 26 JUL 2004
TRA L1 1- RN : 291 TERMS

L3 FILE 'REGISTRY' ENTERED AT 08:57:51 ON 26 JUL 2004
291 SEA L2

FILE 'REGISTRY' ENTERED AT 08:57:54 ON 26 JUL 2004

L4 FILE 'WPIX' ENTERED AT 08:57:56 ON 26 JUL 2004
1 US2004110762/PN

FILE 'REGISTRY' ENTERED AT 09:34:05 ON 26 JUL 2004

L5 STR
L6 1 L5
L7 STR L5
L8 1 L7
L9 20 L7 FULL
SAVE TEMP L9 SAC044FUL/A
L10 63 C25H25CLN6O2
L11 1 L10 AND L9

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L12 3 L9

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FILE 'HCAPLUS' ENTERED AT 09:46:32 ON 26 JUL 2004

L13 2 L12 AND (PY<=1999 OR PRY<=1999 OR AY<=1999)

FILE 'USPATFULL, USPAT2' ENTERED AT 09:47:02 ON 26 JUL 2004

L14 3 L9
L15 3 L14 AND (PY<=1999 OR PRY<=1999 OR AY<=1999)

FILE 'HCAOLD' ENTERED AT 09:47:54 ON 26 JUL 2004

L16 0 L9

FILE 'HCAOLD' ENTERED AT 09:48:03 ON 26 JUL 2004

L17 13 L7 FULL

FILE 'MARPAT' ENTERED AT 09:48:44 ON 26 JUL 2004

L18 STR L7
L19 0 L18
L20 2 L18 FULL

FILE 'HCAPLUS' ENTERED AT 09:50:50 ON 26 JUL 2004

L21 3 L11
L22 2 L21 AND (PY<=1999 OR PRY<=1999 OR AY<=1999)

FILE 'USPATFULL, USPAT2' ENTERED AT 09:51:12 ON 26 JUL 2004

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L24 3 L23 AND (PY<=1999 OR PRY<=1999 OR AY<=1999)

FILE 'HCAOLD' ENTERED AT 09:51:49 ON 26 JUL 2004

L25 0 L11

FILE 'HCAPLUS' ENTERED AT 09:52:18 ON 26 JUL 2004
L26 2 L13 OR L22

FILE 'USPATFULL, USPAT2' ENTERED AT 09:52:38 ON 26 JUL 2004
L27 3 L15 OR L24

FILE 'BEILSTEIN' ENTERED AT 09:59:59 ON 26 JUL 2004
L28 0 L17 AND RN/FA

=> b hcap

FILE 'HCAPLUS' ENTERED AT 10:05:07 ON 26 JUL 2004
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FILE COVERS 1907 - 26 Jul 2004 VOL 141 ISS 5
FILE LAST UPDATED: 25 Jul 2004 (20040725/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'HCAPLUS' FILE

=> d bib abs hitrn l26 tot

L26 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:906207 HCAPLUS

DN 136:37618

TI Preparation of substituted aromatic tricyclic compounds containing nicotinonitrile rings as protein kinase inhibitors

IN Berger, Dan M.; Dutia, Minu D.; Demorin, Frenel F.; Boschelli, Diane H.; Powell, Dennis W.; Tsou, Hwei-ru; Wissner, Allan; Zhang, Nan; Ye, Fei; Wu, Biqi

PA American Home Products Corporation, USA; Wyeth

SO U.S. Pat. Appl. Publ., 107 pp.

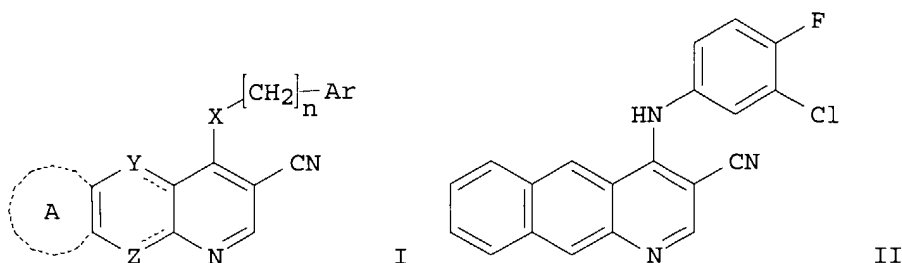
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2001051620	A1	20011213	US 2000-751274	20001229 <--
	US 6638929	B2	20031028		
	US 2004110762	A1	20040610	US 2003-618044	20030710 <--
PRAI	US 1999-240905P	P	19991229 <--		
	US 2000-751274	A3	20001229		
OS	MARPAT 136:37618				
GI					



AB The title compds. I [Ar = (un)substituted cycloalkyl, pyridyl, pyrimidinyl, etc.; n = 0-1; X = NH, O, S, NR; R = alkyl; Y, Z = both carbon or N; A = (un)substituted benzo, pyrido, pyrimido, etc.] which are useful as inhibitors of protein tyrosine kinase and are antiproliferative agents, were prepared E.g., a 3-step synthesis of II which showed IC₅₀ of 0.005 .mu.M against EGF-R kinase (recombinant enzyme), was given.

IT **348617-61-8P**

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of substituted aromatic tricyclic compds. containing nicotinonitrile

rings as protein kinase inhibitors)

IT **348617-44-7P 348617-46-9P 348617-47-0P**
348617-50-5P 348617-51-6P 348617-52-7P
348617-54-9P 348617-55-0P 348617-56-1P
348617-58-3P 348617-62-9P 348617-71-0P
348617-72-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted aromatic tricyclic compds. containing nicotinonitrile

rings as protein kinase inhibitors)

IT **348619-39-6P 348619-42-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted aromatic tricyclic compds. containing nicotinonitrile

rings as protein kinase inhibitors)

L26 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:489374 HCAPLUS

DN 135:92639

TI Preparation of substituted aromatic tricyclic compounds containing nicotinonitrile rings as protein kinase inhibitors

IN Berger, Dan M.; Dutia, Minu D.; Demorin, Frenel F.; Boschelli, Diane H.; Powell, Dennis W.; Tsou, Hwei-ru; Wissner, Allan; Zhang, Nan; Ye, Fei; Wu, Biqi

PA American Home Products Corp., USA

SO PCT Int. Appl., 377 pp.

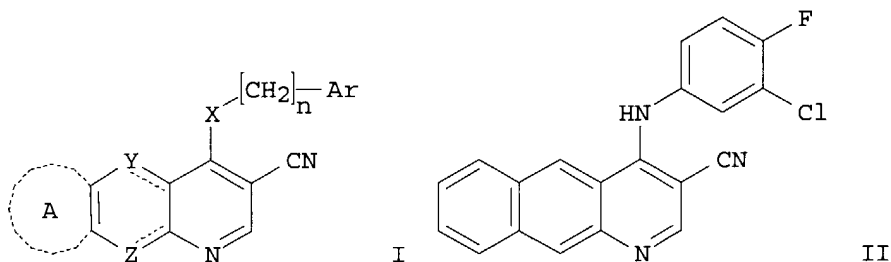
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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	EP 1242382	A1	20020925	EP 2000-988437	20001229 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2000016878	A	20021008	BR 2000-16878	20001229 <--
	JP 2003519127	T2	20030617	JP 2001-549364	20001229 <--
PRAI	US 1999-473600	A	19991229 <--		
	WO 2000-US35616	W	20001229		
OS	MARPAT 135:92639				
GI					



AB The title compds. I [Ar = (un)substituted cycloalkyl, pyridyl, pyrimidinyl, etc.; n = 0-1; X = NH, O, S, NR; R = alkyl; Y, Z = both carbon or N; A = (un)substituted benzo, pyrido, pyrimido, etc.] which are useful as inhibitors of protein tyrosine kinase and are antiproliferative agents, were prepared E.g., a 3-step synthesis of II which showed IC₅₀ of 0.005 .mu.M against EGF-R kinase (recombinant enzyme), was given.

IT **348617-61-8P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of substituted aromatic tricyclic compds. containing nicotinonitrile

rings as protein kinase inhibitors)

IT **348617-44-7P 348617-46-9P 348617-47-0P**

348617-50-5P 348617-51-6P 348617-52-7P

348617-54-9P 348617-55-0P 348617-56-1P

348617-58-3P 348617-62-9P 348617-71-0P

348617-72-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted aromatic tricyclic compds. containing nicotinonitrile

rings as protein kinase inhibitors)
IT 348619-39-6P 348619-42-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of substituted aromatic tricyclic compds. containing
nicotinonitrile
rings as protein kinase inhibitors)
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> b uspatall

FILE 'USPATFULL' ENTERED AT 10:05:40 ON 26 JUL 2004
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPATFULL' ENTERED AT 10:05:40 ON 26 JUL 2004
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

=> d bib abs hitm 127 tot

L27 ANSWER 1 OF 3 USPATFULL on STN
AN 2004:145089 USPATFULL
TI Tricyclic protein kinase inhibitors
IN Berger, Dan M., New City, NY, UNITED STATES
Dutia, Minu D., West Nyack, NY, UNITED STATES
DeMorin, Frenel F., Nanuet, NY, UNITED STATES
Boschelli, Diane H., New City, NY, UNITED STATES
Powell, Dennis W., Westchester, NY, UNITED STATES
Tsou, Hwei-Ru, New City, NY, UNITED STATES
Wissner, Allan, Ardsley, NY, UNITED STATES
Zhang, Nan, Eastchester, NY, UNITED STATES
Ye, Fei, Nanuet, NY, UNITED STATES
Wu, Biqi, Nanuet, NY, UNITED STATES
PA WYETH, Madison, NJ (U.S. corporation)
PI US 2004110762 A1 20040610
AI US 2003-618044 A1 20030710 (10)
RLI Division of Ser. No. US 2000-751274, filed on 29 Dec 2000, GRANTED, Pat.
No. US 6638929
PRAI US 1999-240905P 19991229 (60) <--
DT Utility
FS APPLICATION
LREP Anne M. Rosenblum, Esq., Suite 212, 163 Delaware Avenue, Delmar, NY,
12054
CLMN Number of Claims: 35
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 8418
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention provides compounds of formula 1, having the structure
##STR1##

which are useful as inhibitors of protein tyrosine kinase and are
antiproliferative agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 348617-61-8P

(preparation of substituted aromatic tricyclic compds. containing
nicotinonitrile

rings as protein kinase inhibitors)

IT 348617-44-7P 348617-46-9P 348617-47-0P

348617-50-5P 348617-51-6P 348617-52-7P
348617-54-9P 348617-55-0P 348617-56-1P
348617-58-3P 348617-62-9P 348617-71-0P
348617-72-1P

(preparation of substituted aromatic tricyclic compds. containing
nicotinonitrile

rings as protein kinase inhibitors)

IT 348619-39-6P 348619-42-1P

(preparation of substituted aromatic tricyclic compds. containing
nicotinonitrile

rings as protein kinase inhibitors)

L27 ANSWER 2 OF 3 USPATFULL on STN

AN 2001:229667 USPATFULL

TF⁵ Tricyclic protein kinase inhibitors

IN⁶ Berger, Dan M., New City, NY, United States
Dutia, Minu D., West Nyack, NY, United States
DeMorin, Frenel F., Nanuet, NY, United States
Boschelli, Diane H., New City, NY, United States
Powell, Dennis W., Westchester, NY, United States
Tsou, Hwei-Ru, New City, NY, United States
Wissner, Allan, Ardsley, NY, United States
Zhang, Nan, Eastchester, NY, United States
Ye, Fei, Nanuet, NY, United States
Wu, Biqi, Nanuet, NY, United States

PA American Home Products Corporation, Madison, NJ, United States,
07940-0874 (U.S. corporation)

PI US 2001051620 A1 20011213

US 6638929 B2 20031028

AI US 2000-751274 A1 20001229 (9)

PRAI US 1999-240905P 19991229 (60) <--

DT Utility

FS APPLICATION

LREP AMERICAN HOME PRODUCTS CORPORATION, PATENT SECTION, FIVE GIRALDA FARMS,
MADISON, NJ, 07940-0874

CLMN Number of Claims: 35

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 8432

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention provides compounds of formula 1, having the structure
##STR1##

which are useful as inhibitors of protein tyro sine kinase and are
antiproliferative agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 348617-61-8P

(preparation of substituted aromatic tricyclic compds. containing
nicotinonitrile

rings as protein kinase inhibitors)

IT 348617-44-7P 348617-46-9P 348617-47-0P

348617-50-5P 348617-51-6P 348617-52-7P

348617-54-9P 348617-55-0P 348617-56-1P

348617-58-3P 348617-62-9P 348617-71-0P

348617-72-1P

(preparation of substituted aromatic tricyclic compds. containing
nicotinonitrile

rings as protein kinase inhibitors)

IT 348619-39-6P 348619-42-1P

(preparation of substituted aromatic tricyclic compds. containing
nicotinonitrile
rings as protein kinase inhibitors)

L27 ANSWER 3 OF 3 USPAT2 on STN

AN 2001:229667 USPAT2

TI Tricyclic protein kinase inhibitors

IN Berger, Dan M., New City, NY, United States
Dutia, Minu D., West Nyack, NY, United States
DeMorin, Frenel F., Nanuet, NY, United States
Boschelli, Diane H., New City, NY, United States
Powell, Dennis W., Westchester, NY, United States
Tsou, Hwei-Ru, New City, NY, United States
Wissner, Allan, Ardsley, NY, United States
Zhang, Nan, Eastchester, NY, United States
Ye, Fei, Nanuet, NY, United States
Wu, Biqi, Nanuet, NY, United States

PA Wyeth, Madison, NJ, United States (U.S. corporation)

PI US 6638929 B2 20031028

AI US 2000-751274 20001229 (9)

PRAI US 1999-240905P 19991229 (60) <--

DT Utility

FS GRANTED

EXNAM Primary Examiner: Solola, Taopiq; Assistant Examiner: Sackey, Ebenezer

LREP Hogan, Jr., John W.

CLMN Number of Claims: 16

ECL Exemplary Claim: 1

DRWN 0 Drawing Figure(s); 0 Drawing Page(s)

LN.CNT 7552

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention provides compounds of formula 1, having the structure
##STR1##

which are useful as inhibitors of protein tyrosine kinase and are
antiproliferative agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 348617-61-8P

(preparation of substituted aromatic tricyclic compds. containing
nicotinonitrile
rings as protein kinase inhibitors)

IT 348617-44-7P 348617-46-9P 348617-47-0P
348617-50-5P 348617-51-6P 348617-52-7P
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348617-58-3P 348617-62-9P 348617-71-0P
348617-72-1P

(preparation of substituted aromatic tricyclic compds. containing
nicotinonitrile
rings as protein kinase inhibitors)

IT 348619-39-6P 348619-42-1P

(preparation of substituted aromatic tricyclic compds. containing
nicotinonitrile
rings as protein kinase inhibitors)

=> b beilstein

FILE 'BEILSTEIN' ENTERED AT 10:06:13 ON 26 JUL 2004

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FILE RELOADED ON OCTOBER 20, 2002
FILE LAST UPDATED ON JUNE 15, 2004

FILE COVERS 1771 TO 2003.

*** FILE CONTAINS 8,997,153 SUBSTANCES ***

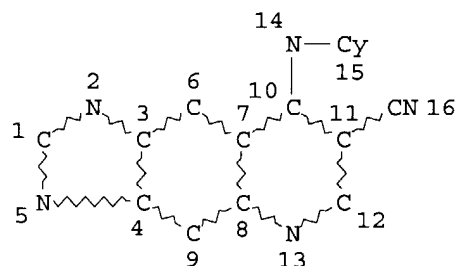
>>> PLEASE NOTE: Reaction data and substance data are stored in separate documents and can not be searched together in one query.
Reaction data for BEILSTEIN compounds may be displayed immediately with the display codes PRE (preparations) and REA (reactions). A substance answer set retrieved after the search for a chemical name, a molecular formula or a structure search for example can be restricted to compounds with available reaction information by concatenation with PRE/FA, REA/FA or more general with RX/FA. The BEILSTEIN Registry Number (BRN) is the link between a BEILSTEIN compound and belonging reactions. For more detailed reaction searches BRNs can be selected from substance answer sets and searched in the next step as reaction partner BRNs - Reactant (RX.RBRN) or Product BRN (RX.PBRN). After a search for reaction details substance documents associated with reactants or products may be retrieved by searching RX.PBRNs or RX.RBRNs as BRNs. <<<

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* ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE *
* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS. *
* FOR PRICE INFORMATION SEE HELP COST *

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L7 STR



NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE
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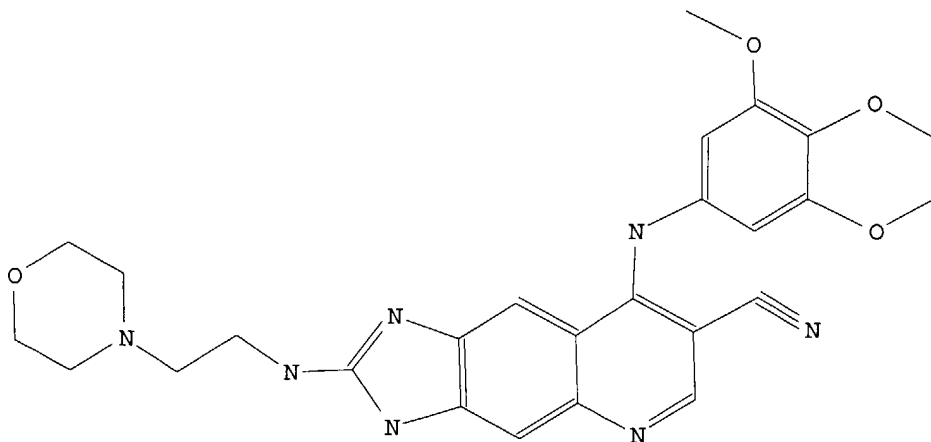
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13 ANSWERS

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L17 ANSWER 1 OF 13 BEILSTEIN COPYRIGHT 2004 BEILSTEIN MDL on STN

Beilstein Records (BRN): 9306940
Chemical Name (CN): 2-(2-morpholin-4-yl-ethylamino)-8-(3,4,5-trimethoxy-phenylamino)-3H-imidazo<4,5-g>quinoline-7-carbonitrile
Autonom Name (AUN): 2-(2-morpholin-4-yl-ethylamino)-8-(3,4,5-trimethoxy-phenylamino)-3H-imidazo<4,5-g>quinoline-7-carbonitrile
Molec. Formula (MF): C26 H29 N7 O4
Molecular Weight (MW): 503.56
Lawson Number (LN): 30824, 30356, 15326, 3018, 289
Compound Type (CTYPE): heterocyclic
Constitution ID (CONSID): 7859336
Tautomer ID (TAUTID): 8735609
Entry Date (DED): 2003/04/17
Update Date (DUPD): 2003/04/17



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	5
FS	File Segment	1

CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
ED	Entry Date	1
UPD	Update Date	1
PHARM	Pharmacological Data	5

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

Reaction:

RX

Reaction ID (.ID):	9182059
Reactant BRN (.RBRN):	9294295, 1210530
Reactant (.RCT):	6,7-diamino-4-(3,4,5-trimethoxy-phenylamino)-quinoline-3-carbonitrile,
	4-(2-isothiocyanato-ethyl)-morpholine
Product BRN (.PBRN):	9306940
Product (.PRO):	2-(2-morpholin-4-yl-ethylamino)-8-(3,4,5-trimethoxy-phenylamino)-3H-imidazo<4,5-g>quinoline-7-carbonitrile
No. of React. Details (.NVAR):	1

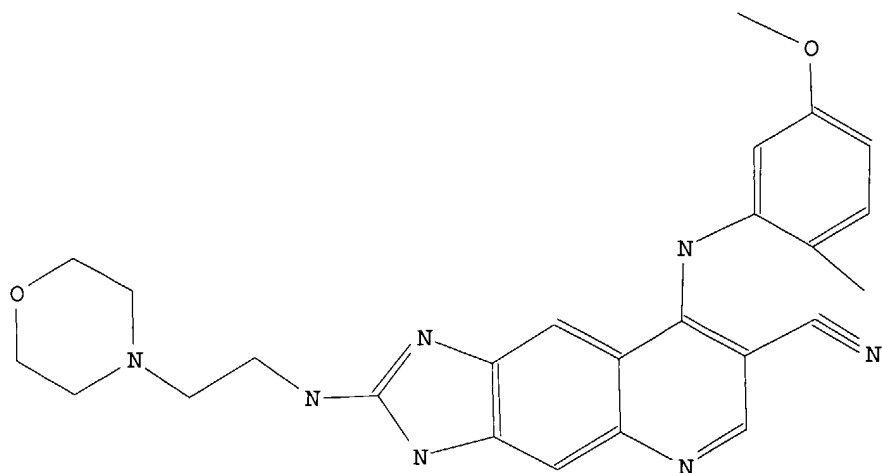
Reaction Details:

RX

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Nr. of Stages (.SNR):	2
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Stage 2	
Reagent (.RGT):	HgO
Reference(s):	
1. Berger, Dan; Dutia, Minu; Powell, Dennis; Wu, Biqi; Wissner, Allan; DeMorin, Frenel; Weber, Jennifer; Boschelli, Frank, Bioorg.Med.Chem.Lett., CODEN: BMCLE8, 12(19), <2002>, 2761 - 2766; BABS-6374520	

L17 ANSWER 2 OF 13 BEILSTEIN COPYRIGHT 2004 BEILSTEIN MDL on STN

Beilstein Records (BRN):	9304845
Chemical Name (CN):	8-(5-methoxy-2-methyl-phenylamino)-2-(2-morpholin-4-yl-ethylamino)-3H-imidazo<4,5-g>quinoline-7-carbonitrile
Autonom Name (AUN):	8-(5-methoxy-2-methyl-phenylamino)-2-(2-morpholin-4-yl-ethylamino)-3H-imidazo<4,5-g>quinoline-7-carbonitrile
Molec. Formula (MF):	C25 H27 N7 O2
Molecular Weight (MW):	457.53
Lawson Number (LN):	30824, 30356, 14902, 3018, 289
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	7857619
Tautomer ID (TAUTID):	8734922
Entry Date (DED):	2003/04/17
Update Date (DUPD):	2003/04/17



Field Availability:

Code	Name	Occurrence
=====	=====	=====
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	5
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
ED	Entry Date	1
UPD	Update Date	1
PHARM	Pharmacological Data	5

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
=====	=====	=====
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

Reaction:

RX

Reaction ID (.ID): 9182058
 Reactant BRN (.RBRN): 9286834, 1210530
 Reactant (.RCT): 6,7-diamino-4-(5-methoxy-2-methyl-phenylamino)-quinoline-3-carbonitrile,
 4-(2-isothiocyanato-ethyl)-morpholine
 Product BRN (.PBRN): 9304845
 Product (.PRO): 8-(5-methoxy-2-methyl-phenylamino)-2-(2-morpholin-4-yl-ethylamino)-3H-imidazo[4,5-g]quinoline-7-carbonitrile
 No. of React. Details (.NVAR): 1

Reaction Details:

RX

Reaction RID (.RID): 9182058.1
Reaction Classification (.CL): Multistage

Nr. of Stages (.SNR): 2

Stage 1

Stage 2

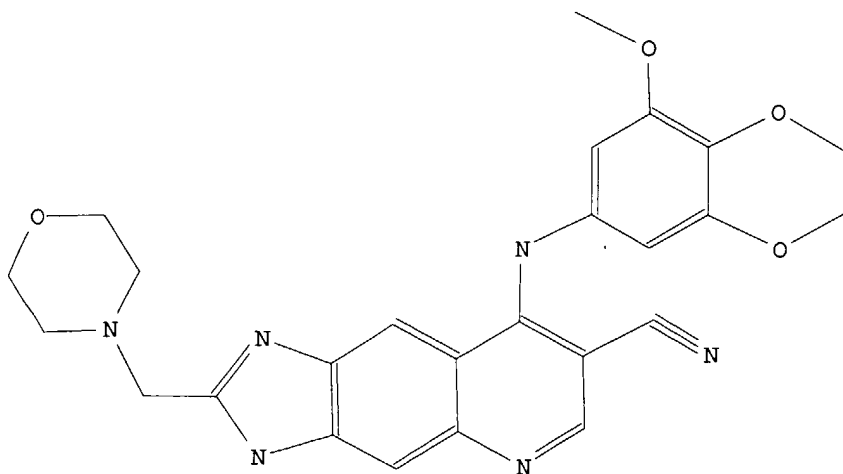
Reagent (.RGT): HgO

Reference(s):

1. Berger, Dan; Dutia, Minu; Powell, Dennis; Wu, Biqu; Wissner, Allan; DeMorin, Frenel; Weber, Jennifer; Boschelli, Frank, Bioorg.Med.Chem.Lett., CODEN: BMCLE8, 12(19), <2002>, 2761 - 2766; BABS-6374520

L17 ANSWER 3 OF 13 BEILSTEIN COPYRIGHT 2004 BEILSTEIN MDL on STN

Beilstein Records (BRN): 9304516
Chemical Name (CN): 2-morpholin-4-ylmethyl-8-(3,4,5-trimethoxy-phenylamino)-3H-imidazo<4,5-g>quinoline-7-carbonitrile
Autonom Name (AUN): 2-morpholin-4-ylmethyl-8-(3,4,5-trimethoxy-phenylamino)-3H-imidazo<4,5-g>quinoline-7-carbonitrile
Molec. Formula (MF): C25 H26 N6 O4
Molecular Weight (MW): 474.52
Lawson Number (LN): 30824, 30357, 15326, 289
Compound Type (CTYPE): heterocyclic
Constitution ID (CONSID): 7857350
Tautomer ID (TAUTID): 8733004
Entry Date (DED): 2003/04/17
Update Date (DUPD): 2003/04/17



Field Availability:

Searched by Noble Jarrell

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	4
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
ED	Entry Date	1
UPD	Update Date	1
PHARM	Pharmacological Data	5

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

Reaction:

RX

Reaction ID (.ID): 9177041
 Reactant BRN (.RBRN): 9297462, 102549
 Reactant (.RCT): 2-chloromethyl-8-(3,4,5-trimethoxy-phenylamino)-3H-imidazo<4,5-g>quinoline-7-carbonitrile, morpholine
 Product BRN (.PBRN): 9304516
 Product (.PRO): 2-morpholin-4-ylmethyl-8-(3,4,5-trimethoxy-phenylamino)-3H-imidazo<4,5-g>quinoline-7-carbonitrile
 No. of React. Details (.NVAR): 1

Reaction Details:

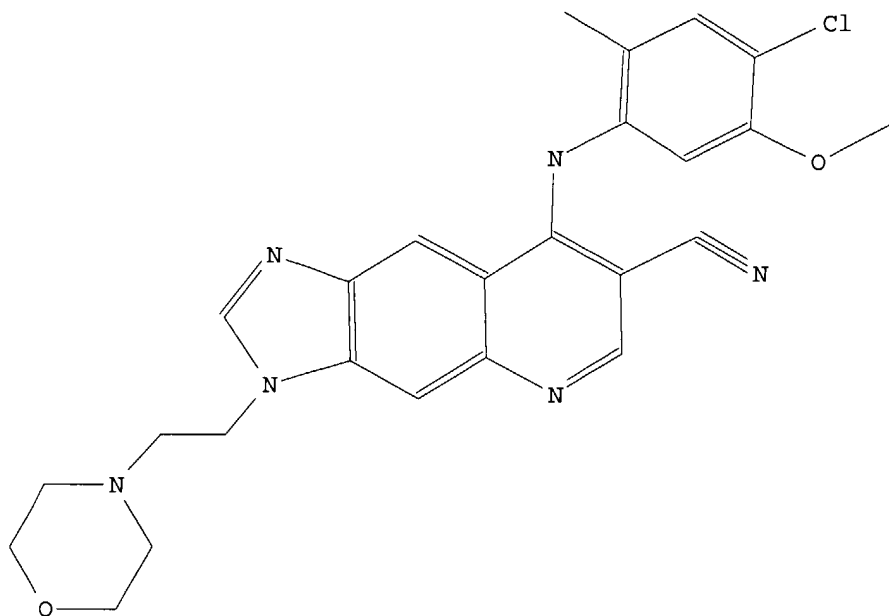
RX

Reaction RID (.RID): 9177041.1
 Reaction Classification (.CL): Preparation
 Reference(s):
 1. Berger, Dan; Dutia, Minu; Powell, Dennis; Wu, Biqi; Wissner, Allan; DeMorin, Frenel; Weber, Jennifer; Boschelli, Frank, Bioorg.Med.Chem.Lett., CODEN: BMCLE8, 12(19), <2002>, 2761 - 2766; BABS-6374520

L17 ANSWER 4 OF 13 BEILSTEIN COPYRIGHT 2004 BEILSTEIN MDL on STN

Beilstein Records (BRN): 9303785
 Chemical Name (CN): 8-(4-chloro-5-methoxy-2-methyl-phenylamino)-3-(2-morpholin-4-yl-ethyl)-3H-imidazo<4,5-g>quinoline-7-carbonitrile
 Autonom Name (AUN): 8-(4-chloro-5-methoxy-2-methyl-phenylamino)-3-(2-morpholin-4-yl-ethyl)-3H-imidazo<4,5-g>quinoline-7-carbonitrile
 Molec. Formula (MF): C25 H25 Cl N6 O2
 Molecular Weight (MW): 476.96
 Lawson Number (LN): 30824, 30355, 14903, 3018, 289
 Compound Type (CTYPE): heterocyclic
 Constitution ID (CONSID): 7856774

Tautomer ID (TAUTID): 8737736
 Entry Date (DED): 2003/04/17
 Update Date (DUPD): 2003/04/17



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
LSF	Linearized Structure Formula	1
MF	Molecular Formula	1
FW	Formular Weight	1
FBRN	Fragment BRN	2
LN	Lawson Number	5
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
ED	Entry Date	1
UPD	Update Date	1
PHARM	Pharmacological Data	5

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

Reaction:

RX

Reaction ID (.ID): 9192198
Reactant BRN (.RBRN): 9286129, 2831008
Reactant (.RCT): 8-chloro-3-(2-morpholin-4-yl-ethyl)-3H-imidazo<4,5-g>quinoline-7-carbonitrile, 4-chloro-5-methoxy-2-methyl-aniline
Product BRN (.PBRN): 9303785
Product (.PRO): 8-(4-chloro-5-methoxy-2-methyl-phenylamino)-3-(2-morpholin-4-yl-ethyl)-3H-imidazo<4,5-g>quinoline-7-carbonitrile
No. of React. Details (.NVAR): 1

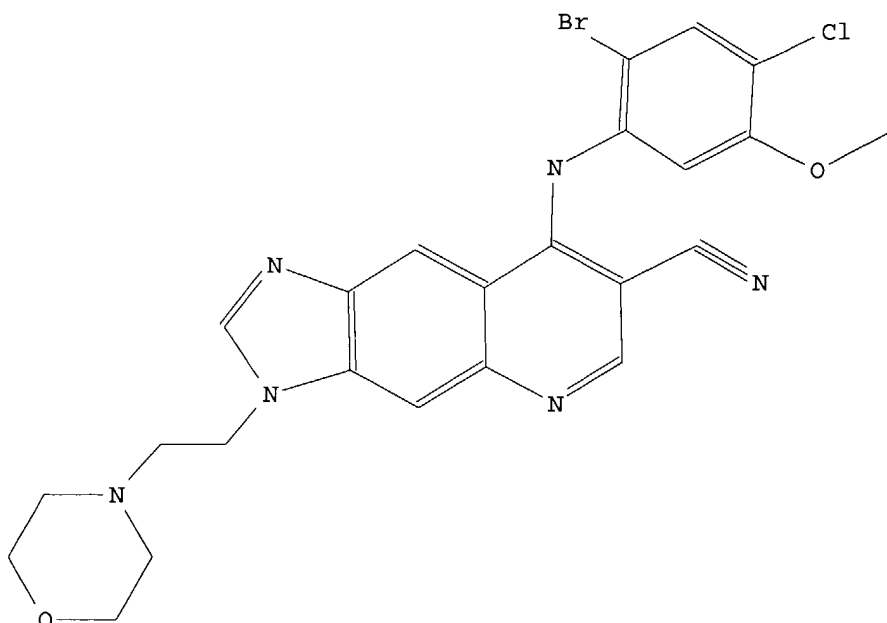
Reaction Details:

RX

Reaction RID (.RID): 9192198.1
Reaction Classification (.CL): Preparation
Reagent (.RGT): pyridine hydrochloride
Solvent (.SOL): 2-ethoxy-ethanol
Other Conditions (.COND): Heating
Reference(s):
1. Berger, Dan; Dutia, Minu; Powell, Dennis; Wu, Biqu; Wissner, Allan; DeMorin, Frenel; Weber, Jennifer; Boschelli, Frank, Bioorg.Med.Chem.Lett., CODEN: BMCLE8, 12(19), <2002>, 2761 - 2766; BABS-6374520

L17 ANSWER 5 OF 13 BEILSTEIN COPYRIGHT 2004 BEILSTEIN MDL on STN

Beilstein Records (BRN): 9303647
Chemical Name (CN): 8-(2-bromo-4-chloro-5-methoxy-phenylamino)-3-(2-morpholin-4-yl-ethyl)-3H-imidazo<4,5-g>quinoline-7-carbonitrile
Autonom Name (AUN): 8-(2-bromo-4-chloro-5-methoxy-phenylamino)-3-(2-morpholin-4-yl-ethyl)-3H-imidazo<4,5-g>quinoline-7-carbonitrile
Molec. Formula (MF): C24 H22 Br Cl N6 O2
Molecular Weight (MW): 541.83
Lawson Number (LN): 30824, 30355, 14894, 3018, 289
Compound Type (CTYPE): heterocyclic
Constitution ID (CONSID): 7856662
Tautomer ID (TAUTID): 8737612
Entry Date (DED): 2003/04/17
Update Date (DUPD): 2003/04/17



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	5
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
ED	Entry Date	1
UPD	Update Date	1
PHARM	Pharmacological Data	5

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

Reaction:

RX

Reaction ID (.ID): 9214177
 Reactant BRN (.RBRN): 9286129, 9044203
 Reactant (.RCT): 8-chloro-3-(2-morpholin-4-yl-ethyl)-3H-imidazo<4,5-g>quinoline-7-carbonitrile, 2-bromo-4-chloro-5-methoxy-phenylamine
 Product BRN (.PBRN): 9303647
 Product (.PRO): 8-(2-bromo-4-chloro-5-methoxy-phenylamino)-3-(2-morpholin-4-yl-ethyl)-3H-imidazo<4,5-

No. of React. Details (.NVAR): 1
g>quinoline-7-carbonitrile

Reaction Details:

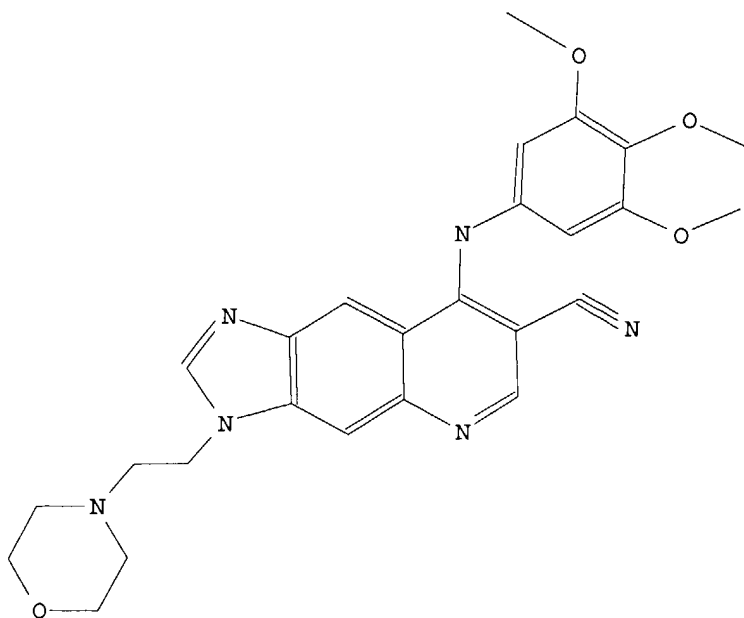
RX

Reaction RID (.RID): 9214177.1
Reaction Classification (.CL): Preparation
Reagent (.RGT): pyridine hydrochloride
Solvent (.SOL): 2-ethoxy-ethanol
Other Conditions (.COND): Heating
Reference(s):

1. Berger, Dan; Dutia, Minu; Powell, Dennis; Wu, Biqi; Wissner, Allan; DeMorin, Frenel; Weber, Jennifer; Boschelli, Frank, Bioorg.Med.Chem.Lett., CODEN: BMCLE8, 12(19), <2002>, 2761 - 2766; BABS-6374520

L17 ANSWER 6 OF 13 BEILSTEIN COPYRIGHT 2004 BEILSTEIN MDL on STN

Beilstein Records (BRN): 9303524
Chemical Name (CN): 3-(2-morpholin-4-yl-ethyl)-8-(3,4,5-trimethoxy-phenylamino)-3H-imidazo<4,5-g>quinoline-7-carbonitrile
Autonom Name (AUN): 3-(2-morpholin-4-yl-ethyl)-8-(3,4,5-trimethoxy-phenylamino)-3H-imidazo<4,5-g>quinoline-7-carbonitrile
Molec. Formula (MF): C26 H28 N6 O4
Molecular Weight (MW): 488.55
Lawson Number (LN): 30824, 30355, 15326, 3018, 289
Compound Type (CTYPE): heterocyclic
Constitution ID (CONSID): 7856543
Tautomer ID (TAUTID): 8737585
Entry Date (DED): 2003/04/17
Update Date (DUPD): 2003/04/17



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	5
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
ED	Entry Date	1
UPD	Update Date	1
PHARM	Pharmacological Data	5

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

Reaction:

RX

Reaction ID (.ID): 9208412
 Reactant BRN (.RBRN): 9286129, 642919
 Reactant (.RCT): 8-chloro-3-(2-morpholin-4-yl-ethyl)-3H-imidazo<4,5-g>quinoline-7-carbonitrile, 3,4,5-trimethoxy-aniline
 Product BRN (.PBRN): 9303524
 Product (.PRO): 3-(2-morpholin-4-yl-ethyl)-8-(3,4,5-trimethoxy-phenylamino)-3H-imidazo<4,5-g>quinoline-7-carbonitrile
 No. of React. Details (.NVAR): 1

Reaction Details:

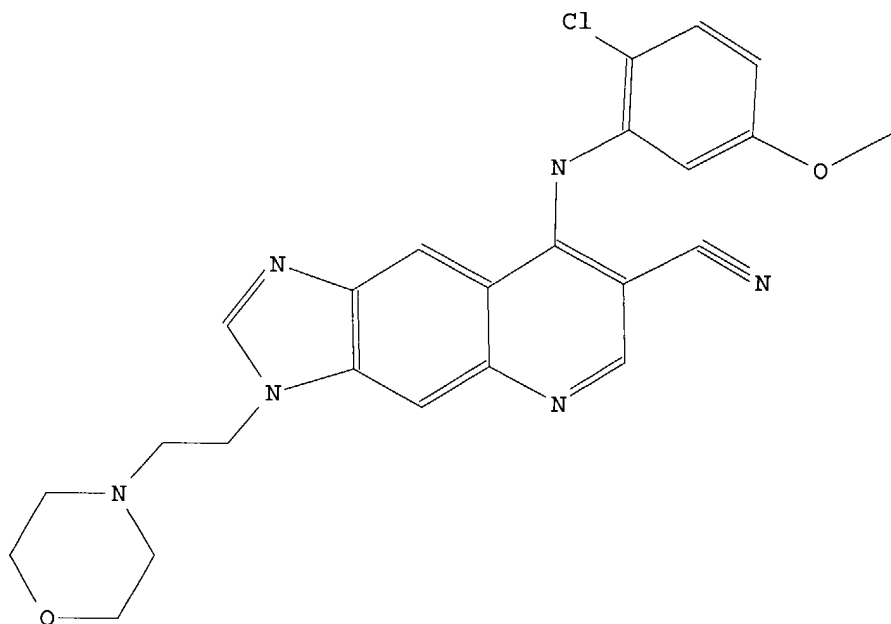
RX

Reaction RID (.RID): 9208412.1
 Reaction Classification (.CL): Preparation
 Reagent (.RGT): pyridine hydrochloride
 Solvent (.SOL): 2-ethoxy-ethanol
 Other Conditions (.COND): Heating
 Reference(s):
 1. Berger, Dan; Dutia, Minu; Powell, Dennis; Wu, Biqu; Wissner, Allan; DeMorin, Frenel; Weber, Jennifer; Boschelli, Frank, Bioorg.Med.Chem.Lett., CODEN: BMCLE8, 12(19), <2002>, 2761 - 2766; BABS-6374520

L17 ANSWER 7 OF 13 BEILSTEIN COPYRIGHT 2004 BEILSTEIN MDL on STN

Beilstein Records (BRN): 9301278
 Chemical Name (CN): 8-(2-chloro-5-methoxy-phenylamino)-3-(2-morpholin-4-yl-ethyl)-3H-imidazo<4,5-g>quinoline-7-carbonitrile
 Autonom Name (AUN): 8-(2-chloro-5-methoxy-phenylamino)-3-(2-

morpholin-4-yl-ethyl)-3H-imidazo<4,5-
 g>quinoline-7-carbonitrile
 Molec. Formula (MF): C24 H23 Cl N6 O2
 Molecular Weight (MW): 462.94
 Lawson Number (LN): 30824, 30355, 14893, 3018, 289
 Compound Type (CTYPE): heterocyclic
 Constitution ID (CONSID): 7854680
 Tautomer ID (TAUTID): 8736936
 Entry Date (DED): 2003/04/17
 Update Date (DUPD): 2003/04/17



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
FBRN	Fragment BRN	2
LN	Lawson Number	5
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
ED	Entry Date	1
UPD	Update Date	1
PHARM	Pharmacological Data	5

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
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```
=====
RX          Reaction Documents          1
RXPRO       Substance is Reaction Product 1
```

Reaction:

RX

```
Reaction ID (.ID):          9190208
Reactant BRN (.RBRN):      9286129, 2082193
Reactant (.RCT):           8-chloro-3-(2-morpholin-4-yl-ethyl)-3H-
                           imidazo<4,5-g>quinoline-7-carbonitrile,
                           2-chloro-5-methoxy-aniline
Product BRN (.PBRN):       9301278
Product (.PRO):            8-(2-chloro-5-methoxy-phenylamino)-3-(2-
                           morpholin-4-yl-ethyl)-3H-imidazo<4,5-
                           g>quinoline-7-carbonitrile
No. of React. Details (.NVAR): 1
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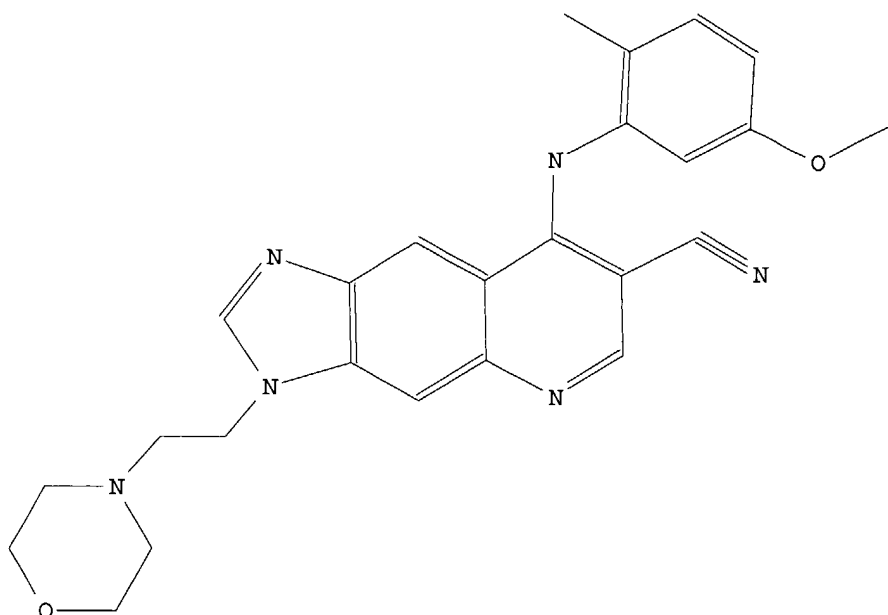
Reaction Details:

RX

```
Reaction RID (.RID):        9190208.1
Reaction Classification (.CL): Preparation
Reagent (.RGT):             pyridine hydrochloride
Solvent (.SOL):             2-ethoxy-ethanol
Other Conditions (.COND):   Heating
Reference(s):
1. Berger, Dan; Dutia, Minu; Powell, Dennis; Wu, Biqu; Wissner, Allan;
   DeMorin, Frenel; Weber, Jennifer; Boschelli, Frank,
   Bioorg.Med.Chem.Lett., CODEN: BMCLE8, 12(19), <2002>, 2761 - 2766;
   BABS-6374520
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L17 ANSWER 8 OF 13 BEILSTEIN COPYRIGHT 2004 BEILSTEIN MDL on STN

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Beilstein Records (BRN):    9300518
Chemical Name (CN):         8-(5-methoxy-2-methyl-phenylamino)-3-(2-
                           morpholin-4-yl-ethyl)-3H-imidazo<4,5-
                           g>quinoline-7-carbonitrile
Autonom Name (AUN):         8-(5-methoxy-2-methyl-phenylamino)-3-(2-
                           morpholin-4-yl-ethyl)-3H-imidazo<4,5-
                           g>quinoline-7-carbonitrile
Molec. Formula (MF):        C25 H26 N6 O2
Molecular Weight (MW):      442.52
Lawson Number (LN):         30824, 30355, 14902, 3018, 289
Compound Type (CTYPE):      heterocyclic
Constitution ID (CONSID):    7854011
Tautomer ID (TAUTID):       8737502
Entry Date (DED):           2003/04/17
Update Date (DUPD):         2003/04/17
```



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	5
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
ED	Entry Date	1
UPD	Update Date	1
PHARM	Pharmacological Data	5

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

Reaction:

RX

Reaction ID (.ID): 9211265
 Reactant BRN (.RBRN): 9286129, 774678
 Reactant (.RCT): 8-chloro-3-(2-morpholin-4-yl-ethyl)-3H-imidazo<4,5-g>quinoline-7-carbonitrile, 5-methoxy-2-methyl-aniline
 Product BRN (.PBRN): 9300518
 Product (.PRO): 8-(5-methoxy-2-methyl-phenylamino)-3-(2-morpholin-4-yl-ethyl)-3H-imidazo<4,5-

No. of React. Details (.NVAR): 1
g>quinoline-7-carbonitrile

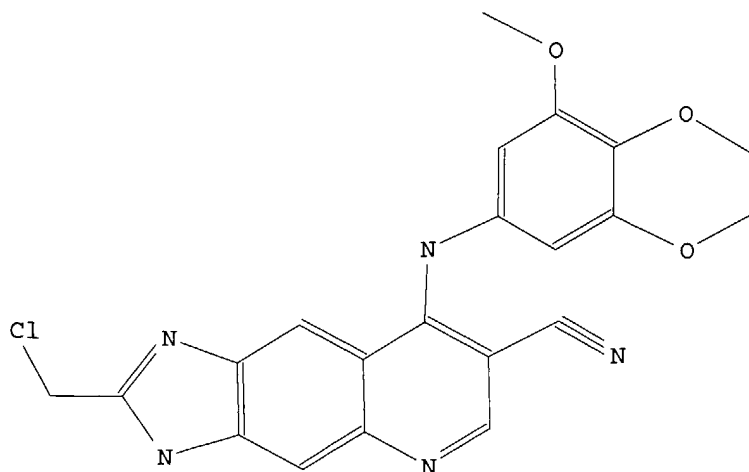
Reaction Details:

RX

Reaction RID (.RID): 9211265.1
Reaction Classification (.CL): Preparation
Reagent (.RGT): pyridine hydrochloride
Solvent (.SOL): 2-ethoxy-ethanol
Other Conditions (.COND): Heating
Reference(s):
1. Berger, Dan; Dutia, Minu; Powell, Dennis; Wu, Biqi; Wissner, Allan;
DeMorin, Frenel; Weber, Jennifer; Boschelli, Frank,
Bioorg.Med.Chem.Lett., CODEN: BMCLE8, 12(19), <2002>, 2761 - 2766;
BABS-6374520

L17 ANSWER 9 OF 13 BEILSTEIN COPYRIGHT 2004 BEILSTEIN MDL on STN

Beilstein Records (BRN): 9297462
Chemical Name (CN): 2-chloromethyl-8-(3,4,5-trimethoxy-phenylamino)-3H-imidazo<4,5-g>quinoline-7-carbonitrile
Autonom Name (AUN): 2-chloromethyl-8-(3,4,5-trimethoxy-phenylamino)-3H-imidazo<4,5-g>quinoline-7-carbonitrile
Molec. Formula (MF): C21 H18 Cl N5 O3
Molecular Weight (MW): 423.86
Lawson Number (LN): 30357, 15326, 289
Compound Type (CTYPE): heterocyclic
Constitution ID (CONSID): 7851478
Tautomer ID (TAUTID): 8728619
Entry Date (DED): 2003/04/17
Update Date (DUPD): 2003/04/17



Field Availability:

Code	Name	Occurrence
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=====
BRN      Beilstein Records          1
CN       Chemical Name              1
AUN      Autonomname                1
MF       Molecular Formula           1
FW       Formular Weight            1
LN       Lawson Number              3
FS       File Segment                1
CTYPE    Compound Type              1
CONSID   Constitution ID            1
TAUTID   Tautomer ID                1
ED       Entry Date                  1
UPD      Update Date                1

```

This substance also occurs in Reaction Documents:

```

Code      Name                      Occurrence
=====
RX        Reaction Documents        2
RXREA     Substance is Reaction Reactant 1
RXPRO     Substance is Reaction Product 1

```

Reaction:

RX

```

Reaction ID (.ID):          9205152
Reactant BRN (.RBRN):      9294295, 605439
Reactant (.RCT):           6,7-diamino-4-(3,4,5-trimethoxy-
                           phenylamino)-quinoline-3-carbonitrile,
                           chloroacetyl chloride
Product BRN (.PBRN):       9297462
Product (.PRO):            2-chloromethyl-8-(3,4,5-trimethoxy-
                           phenylamino)-3H-imidazo<4,5-g>quinoline-7-
                           carbonitrile
No. of React. Details (.NVAR): 1

```

Reaction Details:

RX

```

Reaction RID (.RID):        9205152.1
Reaction Classification (.CL): Multistage
Nr. of Stages (.SNR):      2
Stage 1
Reagent (.RGT):            Et2NPh
Stage 2
Reagent (.RGT):            acetic acid
Other Conditions (.COND):   Heating
Reference(s):
1. Berger, Dan; Dutia, Minu; Powell, Dennis; Wu, Biqi; Wissner, Allan;
   DeMorin, Frenel; Weber, Jennifer; Boschelli, Frank,
   Bioorg.Med.Chem.Lett., CODEN: BMCLE8, 12(19), <2002>, 2761 - 2766;
   BABS-6374520

```

Reaction:

RX

```

Reaction ID (.ID):          9177041
Reactant BRN (.RBRN):      9297462, 102549
Reactant (.RCT):           2-chloromethyl-8-(3,4,5-trimethoxy-
                           phenylamino)-3H-imidazo<4,5-g>quinoline-7-
                           carbonitrile, morpholine
Product BRN (.PBRN):       9304516
Product (.PRO):            2-morpholin-4-ylmethyl-8-(3,4,5-trimethoxy-

```

phenylamino)-3H-imidazo<4,5-g>quinoline-7-
carbonitrile

No. of React. Details (.NVAR): 1

Reaction Details:

RX

Reaction RID (.RID): 9177041.1

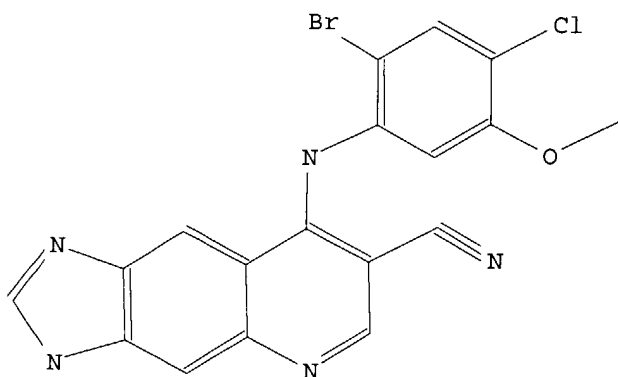
Reaction Classification (.CL): Preparation

Reference(s):

1. Berger, Dan; Dutia, Minu; Powell, Dennis; Wu, Biqi; Wissner, Allan; DeMorin, Frenel; Weber, Jennifer; Boschelli, Frank, Bioorg.Med.Chem.Lett., CODEN: BMCLE8, 12(19), <2002>, 2761 - 2766; BABS-6374520

L17 ANSWER 10 OF 13 BEILSTEIN COPYRIGHT 2004 BEILSTEIN MDL on STN

Beilstein Records (BRN): 9292188
Chemical Name (CN): 8-(2-bromo-4-chloro-5-methoxy-phenylamino)-
3H-imidazo<4,5-g>quinoline-7-carbonitrile
Autonom Name (AUN): 8-(2-bromo-4-chloro-5-methoxy-phenylamino)-
3H-imidazo<4,5-g>quinoline-7-carbonitrile
Molec. Formula (MF): C18 H11 Br Cl N5 O
Molecular Weight (MW): 428.67
Lawson Number (LN): 30355, 14894, 289
Compound Type (CTYPE): heterocyclic
Constitution ID (CONSID): 7847049
Tautomer ID (TAUTID): 8725288
Entry Date (DED): 2003/04/17
Update Date (DUPD): 2003/04/17



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1

Searched by Noble Jarrell

LN	Lawson Number	3
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
ED	Entry Date	1
UPD	Update Date	1
PHARM	Pharmacological Data	5

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

Reaction:

RX

Reaction ID (.ID):	9214176
Reactant BRN (.RBRN):	9266116, 9044203
Reactant (.RCT):	8-chloro-3H-imidazo<4,5-g>quinoline-7-carbonitrile, 2-bromo-4-chloro-5-methoxy-phenylamine
Product BRN (.PBRN):	9292188
Product (.PRO):	8-(2-bromo-4-chloro-5-methoxy-phenylamino)-3H-imidazo<4,5-g>quinoline-7-carbonitrile
No. of React. Details (.NVAR):	1

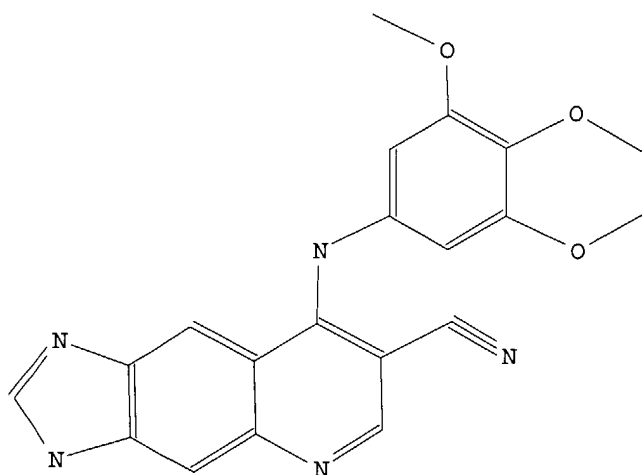
Reaction Details:

RX

Reaction RID (.RID):	9214176.1
Reaction Classification (.CL):	Preparation
Reagent (.RGT):	pyridine hydrochloride
Solvent (.SOL):	2-ethoxy-ethanol
Other Conditions (.COND):	Heating
Reference(s):	1. Berger, Dan; Dutia, Minu; Powell, Dennis; Wu, Biqu; Wissner, Allan; DeMorin, Frenel; Weber, Jennifer; Boschelli, Frank, Bioorg.Med.Chem.Lett., CODEN: BMCLE8, 12(19), <2002>, 2761 - 2766; BABS-6374520

L17 ANSWER 11 OF 13 BEILSTEIN COPYRIGHT 2004 BEILSTEIN MDL on STN

Beilstein Records (BRN):	9291861
Chemical Name (CN):	8-(3,4,5-trimethoxy-phenylamino)-3H-imidazo<4,5-g>quinoline-7-carbonitrile
Autonom Name (AUN):	8-(3,4,5-trimethoxy-phenylamino)-3H-imidazo<4,5-g>quinoline-7-carbonitrile
Molec. Formula (MF):	C20 H17 N5 O3
Molecular Weight (MW):	375.39
Lawson Number (LN):	30355, 15326, 289
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	7846818
Tautomer ID (TAUTID):	8725471
Entry Date (DED):	2003/04/17
Update Date (DUPD):	2003/04/17



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	3
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
ED	Entry Date	1
UPD	Update Date	1
NMR	Nuclear Magnetic Resonance	1
PHARM	Pharmacological Data	5

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

Reaction:

RX

Reaction ID (.ID): 9208408
 Reactant BRN (.RBRN): 9266116, 642919
 Reactant (.RCT): 8-chloro-3H-imidazo<4,5-g>quinoline-7-carbonitrile, 3,4,5-trimethoxy-aniline
 Product BRN (.PBRN): 9291861
 Product (.PRO): 8-(3,4,5-trimethoxy-phenylamino)-3H-imidazo<4,5-g>quinoline-7-carbonitrile
 No. of React. Details (.NVAR): 1

Reaction Details:

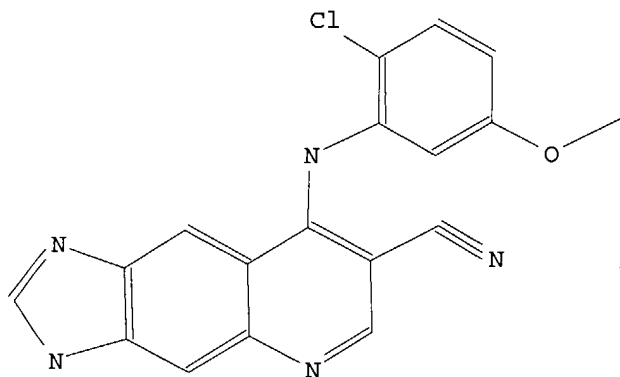
RX

Reaction RID (.RID): 9208408.1

Reaction Classification (.CL): Preparation
 Reagent (.RGT): pyridine hydrochloride
 Solvent (.SOL): 2-ethoxy-ethanol
 Other Conditions (.COND): Heating
 Reference(s):
 1. Berger, Dan; Dutia, Minu; Powell, Dennis; Wu, Biqu; Wissner, Allan;
 DeMorin, Frenel; Weber, Jennifer; Boschelli, Frank,
 Bioorg.Med.Chem.Lett., CODEN: BMCLE8, 12(19), <2002>, 2761 - 2766;
 BABS-6374520

L17 ANSWER 12 OF 13 BEILSTEIN COPYRIGHT 2004 BEILSTEIN MDL on STN

Beilstein Records (BRN): 9286966
 Chemical Name (CN): 8-(2-chloro-5-methoxy-phenylamino)-3H-imidazo<4,5-g>quinoline-7-carbonitrile
 Autonom Name (AUN): 8-(2-chloro-5-methoxy-phenylamino)-3H-imidazo<4,5-g>quinoline-7-carbonitrile
 Molec. Formula (MF): C18 H12 Cl N5 O
 Molecular Weight (MW): 349.78
 Lawson Number (LN): 30355, 14893, 289
 Compound Type (CTYPE): heterocyclic
 Constitution ID (CONSID): 7842596
 Tautomer ID (TAUTID): 8723178
 Entry Date (DED): 2003/04/17
 Update Date (DUPD): 2003/04/17



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	3
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1

ED	Entry Date	1
UPD	Update Date	1
PHARM	Pharmacological Data	5

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

Reaction:

RX

Reaction ID (.ID):	9190207
Reactant BRN (.RBRN):	9266116, 2082193
Reactant (.RCT):	8-chloro-3H-imidazo<4,5-g>quinoline-7-carbonitrile, 2-chloro-5-methoxy-aniline
Product BRN (.PBRN):	9286966
Product (.PRO):	8-(2-chloro-5-methoxy-phenylamino)-3H-imidazo<4,5-g>quinoline-7-carbonitrile
No. of React. Details (.NVAR):	1

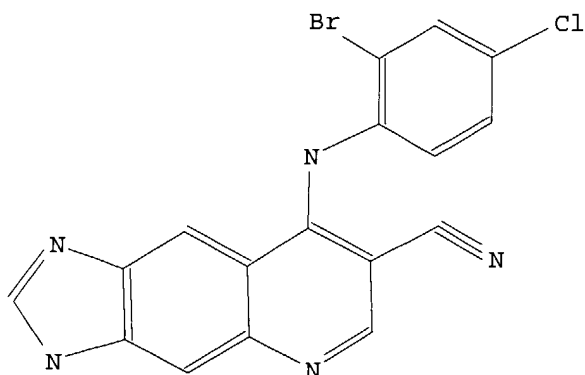
Reaction Details:

RX

Reaction RID (.RID):	9190207.1
Reaction Classification (.CL):	Preparation
Reagent (.RGT):	pyridine hydrochloride
Solvent (.SOL):	2-ethoxy-ethanol
Other Conditions (.COND):	Heating
Reference(s):	1. Berger, Dan; Dutia, Minu; Powell, Dennis; Wu, Biqu; Wissner, Allan; DeMorin, Frenel; Weber, Jennifer; Boschelli, Frank, Bioorg.Med.Chem.Lett., CODEN: BMCLE8, 12(19), <2002>, 2761 - 2766; BABS-6374520

L17 ANSWER 13 OF 13 BEILSTEIN COPYRIGHT 2004 BEILSTEIN MDL on STN

Beilstein Records (BRN):	9286576
Chemical Name (CN):	8-(2-bromo-4-chloro-phenylamino)-3H-imidazo<4,5-g>quinoline-7-carbonitrile
Autonom Name (AUN):	8-(2-bromo-4-chloro-phenylamino)-3H-imidazo<4,5-g>quinoline-7-carbonitrile
Molec. Formula (MF):	C17 H9 Br Cl N5
Molecular Weight (MW):	398.65
Lawson Number (LN):	30355, 14133
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	7842299
Tautomer ID (TAUTID):	8724399
Entry Date (DED):	2003/04/17
Update Date (DUPD):	2003/04/17



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	2
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
ED	Entry Date	1
UPD	Update Date	1
PHARM	Pharmacological Data	5

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

Reaction:

RX

Reaction ID (.ID): 9192082
 Reactant BRN (.RBRN): 9266116, 2802563
 Reactant (.RCT): 8-chloro-3H-imidazo<4,5-g>quinoline-7-carbonitrile, 2-bromo-4-chloro-aniline
 Product BRN (.PBRN): 9286576
 Product (.PRO): 8-(2-bromo-4-chloro-phenylamino)-3H-imidazo<4,5-g>quinoline-7-carbonitrile
 No. of React. Details (.NVAR): 1

Reaction Details:

RX

Reaction RID (.RID): 9192082.1
 Reaction Classification (.CL): Preparation
 Reagent (.RGT): pyridine hydrochloride
 Solvent (.SOL): 2-ethoxy-ethanol
 Other Conditions (.COND): Heating
 Reference(s):

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FILE CONTENT: 1988-PRESENT (VOL 141 ISS 04) (20040723/ED)

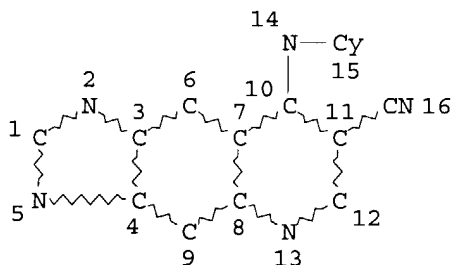
MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES
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WO 2004052350 24 JUN 2004

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

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2 ANSWERS

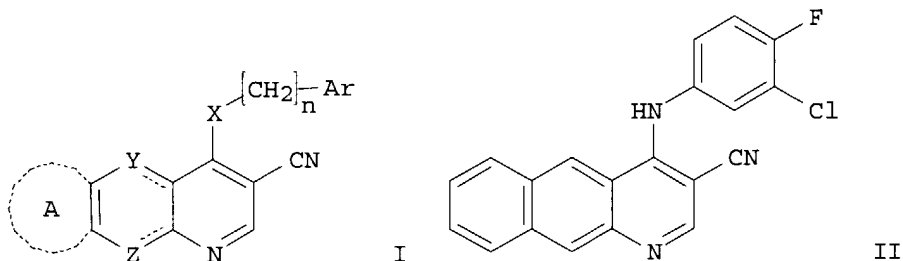
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AN 136:37618 MARPAT

TI Preparation of substituted aromatic tricyclic compounds containing nicotinonitrile rings as protein kinase inhibitors
IN Berger, Dan M.; Dutia, Minu D.; Demorin, Frenel F.; Boschelli, Diane H.; Powell, Dennis W.; Tsou, Hwei-ru; Wissner, Allan; Zhang, Nan; Ye, Fei; Wu, Biqi
 PA American Home Products Corporation, USA; Wyeth
 SO U.S. Pat. Appl. Publ., 107 pp.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2001051620	A1	20011213	US 2000-751274	20001229
	US 6638929	B2	20031028		
	US 2004110762	A1	20040610	US 2003-618044	20030710
PRAI	US 1999-240905P	19991229			
	US 2000-751274	20001229			
GI					



AB The title compds. I [Ar = (un)substituted cycloalkyl, pyridyl, pyrimidinyl, etc.; n = 0-1; X = NH, O, S, NR; R = alkyl; Y, Z = both carbon or N; A = (un)substituted benzo, pyrido, pyrimido, etc.] which are useful as inhibitors of protein tyrosine kinase and are antiproliferative agents, were prepared E.g., a 3-step synthesis of II which showed IC50 of 0.005 .mu.M against EGF-R kinase (recombinant enzyme), was given.

L20 ANSWER 2 OF 2 MARPAT COPYRIGHT 2004 ACS on STN

AN 135:92639 MARPAT

TI Preparation of substituted aromatic tricyclic compounds containing nicotinonitrile rings as protein kinase inhibitors
IN Berger, Dan M.; Dutia, Minu D.; Demorin, Frenel F.; Boschelli, Diane H.; Powell, Dennis W.; Tsou, Hwei-ru; Wissner, Allan; Zhang, Nan; Ye, Fei; Wu, Biqi

PA American Home Products Corp., USA

SO PCT Int. Appl., 377 pp.

CODEN: PIXXD2

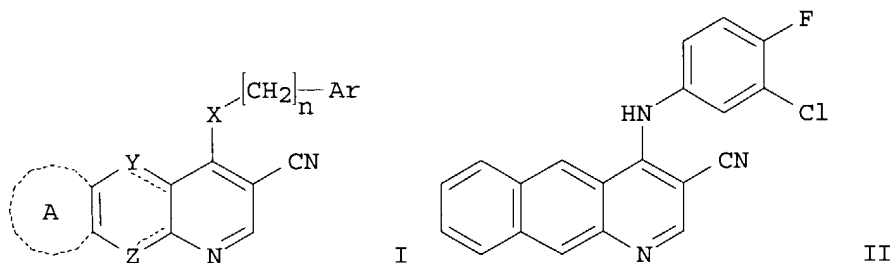
DT Patent

LA English

FAN.CNT 1

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PI	WO 2001047892	A1	20010705	WO 2000-US35616	20001229
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SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU,
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 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
 EP 1242382 A1 20020925 EP 2000-988437 20001229
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 BR 2000016878 A 20021008 BR 2000-16878 20001229
 JP 2003519127 T2 20030617 JP 2001-549364 20001229
 PRAI US 1999-473600 19991229
 WO 2000-US35616 20001229
 GI



AB The title compds. I [Ar = (un)substituted cycloalkyl, pyridyl, pyrimidinyl, etc.; n = 0-1; X = NH, O, S, NR; R = alkyl; Y, Z = both carbon or N; A = (un)substituted benzo, pyrido, pyrimido, etc.] which are useful as inhibitors of protein tyrosine kinase and are antiproliferative agents, were prepared E.g., a 3-step synthesis of II which showed IC₅₀ of 0.005 .mu.M against EGF-R kinase (recombinant enzyme), was given.
 RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

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